

Document made available under the Patent Cooperation Treaty (PCT)

International application number: PCT/EP05/051325

International filing date: 23 March 2005 (23.03.2005)

Document type: Certified copy of priority document

Document details: Country/Office: EP
Number: 04101375.6
Filing date: 02 April 2004 (02.04.2004)

Date of receipt at the International Bureau: 03 May 2005 (03.05.2005)

Remark: Priority document submitted or transmitted to the International Bureau in compliance with Rule 17.1(a) or (b)



World Intellectual Property Organization (WIPO) - Geneva, Switzerland
Organisation Mondiale de la Propriété Intellectuelle (OMPI) - Genève, Suisse

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PCT/EP200 5/ 0 5 1 3 25

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Patentanmeldung Nr. Patent application No. Demande de brevet n°

04101375.6

Der Präsident des Europäischen Patentamts;
Im Auftrag

For the President of the European Patent Office

Le Président de l'Office européen des brevets
p.o.

R C van Dijk



Anmeldung Nr:
Application no.: 04101375.6
Demande no:

Anmeldetag:
Date of filing: 02.04.04
Date de dépôt:

Anmelder/Applicant(s)/Demandeur(s):

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Bezeichnung der Erfindung/Title of the invention/Titre de l'invention:
(Falls die Bezeichnung der Erfindung nicht angegeben ist, siehe Beschreibung.
If no title is shown please refer to the description.
Si aucun titre n'est indiqué se référer à la description.)

Use of UV filters for preventing tanning

In Anspruch genommene Priorität(en) / Priority(ies) claimed /Priorité(s)
revendiquée(s)
Staat/Tag/Aktenzeichen/State/Date/File no./Pays/Date/Numéro de dépôt:

Internationale Patentklassifikation/International Patent Classification/
Classification internationale des brevets:

A61K7/00

Am Anmeldetag benannte Vertragsstaaten/Contracting states designated at date of
filing/Etats contractants désignées lors du dépôt:

AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LU MC NL
PL PT RO SE SI SK TR LI

Use of UV filters for preventing tanning

The present invention relates to the use of specific organic UV filters for preventing tanning human skin and to their use in cosmetic formulations.

The topical application of UV-filters in order to prevent or reduce sunburn, premature aging and pathologic alterations of the skin has become well established in Caucasians where sensitive skin types are abundant and social cultural habits propagate body exposure and a well tanned skin complexion.

But also Non-Caucasians are prone to UV-sensitive pigmentation disorders such as vitiligo or melasma. Such disturbances can manifest much more in a colored complexion and may even reach the level of disfigurement. This is one of the reasons why the beauty ideal of many colored persons and especially those of Asian origin traditionally avoid skin exposure to the sun and show only light pigmentation.

Since dressing habits and outdoor-activities are changing toward more sun exposure, daily cosmetics containing sun protection factors are becoming increasingly popular. Nonetheless, to our knowledge only few clinical reports focus on UV-induced skin damage in Non-Caucasians and most of them concentrate on the impact of UV-light as such rather than on the efficacy of prevention.

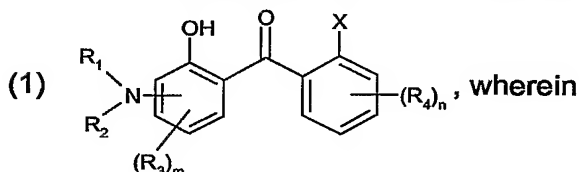
Particularly in Asian countries, there is therefore a great interest in light protection filters or mixtures of light protection filters which preserve the color of the skin following solar irradiation and, moreover, are able to impart a lighter appearance to the skin.

The object of the present invention is therefore to find organic UV filters, which prevent tanning of the skin and at the same time, in combination with pigment-regulators are able to lighten the skin.

Surprisingly, we have now found that specific benzophenone organic UV filters can achieve this object.

The present invention therefore provides for the use of

(a) UV filters selected from the compounds of formula



R₁ and R₂, independently from each other are hydrogen, C₁-C₂₀alkyl, C₂-C₁₀alkenyl,

C₃-C₁₀cycloalkyl, C₃-C₁₀cycloalkenyl, or R₁ and R₂ together with the nitrogen atom to which they are bonded can form a 5- or 6-membered ring;

R₃ and R₄, independently from each other are C₁-C₂₀alkyl, C₂-C₁₀alkenyl, C₃-C₁₀-cycloalkyl, C₃-C₁₀cycloalkenyl, C₁-C₁₂alkoxy, C₁-C₂₀alkoxycarbonyl, C₁-C₁₂alkylamino, C₁-C₁₂dialkylamino, aryl, heteroaryl, optionally substituted, substituents which confer solubility in water, chosen from the group consisting of a nitrile group, carboxylate, sulfonate or ammonium radicals;

X is hydrogen, COOR₅, CONR₆R₇;

R₅, R₆ and R₇, independently from each other are hydrogen, C₁-C₂₀alkyl, C₂-C₁₀alkenyl, C₃-C₁₀cycloalkyl, C₃-C₁₀cycloalkenyl, (Y-O)_o-Z-aryl;

Y is -(CH₂)₂-, -(CH₂)₃-, -(CH₂)₄-, -CH(CH₃)-CH₂-;

Z is -CH₂-CH₃, -CH₂-CH₂-CH₃, -CH₂-CH₂-CH₂-CH₃, -CH(CH₃)-CH₃;

m is from 0 to 3;

n is from 0 to 4;

o is from 1 to 20;

as organic UV filters for preventing tanning human skin.

Alkyl radicals R₁ to R₇ which may be mentioned are branched or unbranched C₁-C₂₀ alkyl chains, preferably methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, n-pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-ethylpropyl, n-hexyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl, 1-ethyl-2-methylpropyl, n-heptyl, n-octyl, 2-ethylhexyl, n-nonyl, n-decyl, n-undecyl, n-dodecyl, n-tridecyl, n-tetradecyl, n-pentadecyl, n-hexadecyl, n-heptadecyl, n-octadecyl, n-nonadecyl or n-eicosyl.

Alkenyl radicals R_1 to R_7 which may be mentioned are branched or unbranched C_2 - C_{10} -alkenyl chains, preferably vinyl, propenyl, isopropenyl, 1-butenyl, 2-butenyl, 1-pentenyl, 2-pentenyl, 2-methyl-1-butenyl, 2-methyl-2-butenyl, 3-methyl-1-butenyl, 1-hexenyl, 2-hexenyl, 1-heptenyl, 2-heptenyl, 1-octenyl or 2-octenyl.

Cycloalkyl radicals which may be mentioned for R_1 to R_7 are preferably branched or unbranched C_3 - C_{10} -cycloalkyl chains such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 1-methylcyclopropyl, 1-ethylcyclopropyl, 1-propylcyclopropyl, 1-butylcyclopropyl, 1-pentylcyclopropyl, 1-methyl-1-butylcyclopropyl, 1,2-dimethylcyclopropyl, 1-methyl-2-ethylcyclopropyl, cyclooctyl, cyclononyl or cyclodecyl.

Cycloalkenyl radicals which may be mentioned for R_1 to R_7 are preferably branched or unbranched C_3 - C_{10} -cycloalkenyl chains with one or more double bonds such as cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclopentadienyl, cyclohexenyl, 1,3-cyclohexadienyl, 1,4-cyclohexadienyl, cycloheptenyl, cycloheptatrienyl, cyclooctenyl, 1,5-cyclooctadienyl, cyclooctatetraenyl, cyclononenyl or cyclodecenyl.

The cycloalkenyl and cycloalkyl radicals may be unsubstituted or substituted by one or more, e.g. 1 to 3, radicals such as halogen, e.g. fluorine, chlorine or bromine, cyano, nitro, amino, C_1 - C_4 -alkylamino, C_1 - C_4 -dialkylamino, hydroxyl, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy or other radicals, or contain 1 to 3 heteroatoms such as sulfur, nitrogen, whose free valences can be saturated by hydrogen or C_1 - C_4 -alkyl, or oxygen in the ring.

Suitable alkoxy radicals for R_3 and R_4 are those having 1 to 12 carbon atoms, preferably having 1 to 8 carbon atoms.

Examples which may be mentioned are: methoxy, ethoxy, isopropoxy, n-propoxy, 1-methylpropoxy, n-butoxy, n-pentyloxy, 2-methylpropoxy, 3-methylbutoxy, 1,1-dimethylpropoxy, 2,2-dimethylpropoxy, hexyloxy, 1-methyl-1-ethylpropoxy, heptyloxy, octyloxy or 2-ethylhexyloxy.

Examples of alkoxycarbonyl radicals for R_3 and R_4 are esters containing the abovementioned alkoxy radicals or radicals derived from higher alcohols, e.g. having up to 20 carbon atoms, such as iso- C_{15} alcohol.

Suitable mono- or dialkylamino radicals for R_3 and R_4 are those containing alkyl radicals having 1 to 12 carbon atoms, such as methyl, n-propyl, n-butyl, 2-methylpropyl, 1,1-dimethylpropyl, hexyl, heptyl, 2-ethylhexyl, isopropyl, 1-methylpropyl, n-pentyl, 3-methylbutyl, 2,2-dimethylpropyl, 1-methyl-1-ethylpropyl and octyl.

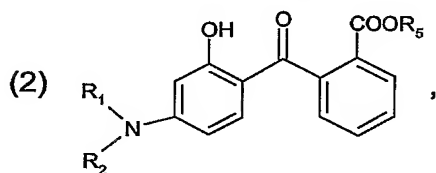
Aryl means aromatic rings or ring systems having 6 to 18 carbon atoms in the ring system, for example phenyl or naphthyl, each of which may be unsubstituted or substituted by one or more radicals such as halogen, e.g. fluorine, chlorine or bromine, cyano, nitro, amino, C_1 - C_4 -alkylamino, C_1 - C_4 -dialkylamino, hydroxyl, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy or other radicals. Unsubstituted or substituted phenyl, methoxyphenyl and naphthyl are preferred.

Heteroaryl radicals are advantageously simple or fused aromatic ring systems having one or more heteroaromatic 3- to 7-membered rings. Heteroatoms which may be present in the ring or ring system are one or more nitrogen, sulfur and/or oxygen atoms. Hydrophilic radicals, i.e. those making it possible for the compounds of the formula I to dissolve in water, for R_3 and R_4 are, for example, the nitrile group and carboxyl and sulfoxy radicals and, in particular, their salts with any physiologically tolerated cations, such as the alkali metal salts or such as the trialkylammonium salts, such as tri(hydroxyalkyl)ammonium salts or the 2-methyl-1-propanol-2-ammonium salts. Also suitable are ammonium radicals, especially alkylammonium radicals, with any physiologically tolerated anions.

The substituents R_1 and R_2 can, together with the nitrogen atom to which they are bonded, form a 5- or 6-membered ring, for example a pyrrolidine or piperidine ring.

The amino group can be in the ortho, meta or para position relative to the carbonyl group. The para position is preferred.

Preferably compounds of formula



are used, wherein

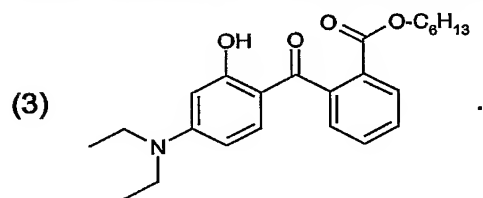
R₁ and R₂ independently from each other are hydrogen, C₁-C₁₂alkyl; or R₁ and R₂ together with the nitrogen atom to which they are bonded form a 5- or 6-membered ring; and R₅ is hydrogen, C₁-C₁₂alkyl, C₃-C₆cycloalkyl.

Preferably compounds of formula (1) are used, wherein R₁ and R₂, independently from each other are C₁-C₅alkyl; and

R₅ is C₁-C₁₂alkyl; and more preferably compounds of formula (1) or (2), wherein R₁ and R₂, independently from each other are C₁-C₅alkyl; and R₅ is C₁-C₁₂alkyl.

Compounds of formula (1) or (2), wherein R₁ and R₂ have the same meaning, are of most preferred interest.

Most preferably the compound of formula



is used.

The compounds of formula (1) are suitable as active ingredients in cosmetic preparations. The compounds can preferably be used in dissolved form.

The cosmetic formulations or pharmaceutical compositions according to the present invention may additionally contain one or more than one further UV filter (b).

The additional UV filters (b) are selected from p-aminobenzoic acid derivatives, salicylic acid derivatives, benzophenone derivatives different from those of formula (1), dibenzoylmethane derivatives, diphenylacrylates, 3-imidazol-4-ylacrylic acid and esters; benzofuran derivatives, polymeric UV absorbers, cinnamic acid derivatives, camphor derivatives, hydroxyphenyltriazine compounds, benzotriazole compounds, trianilino-s-triazine derivatives, 2-phenylbenzimidazole-5-sulfonic acid and salts thereof, menthyl o-aminobenzoates,

physical coated or non-coated sunscreens, perfluoroalcohol phosphate, aminohydroxy-benzophenone derivatives and phenyl-benzimidazole derivatives.

Example of additional UV filters are listed in Tables 1-3.

<u>Table 1. Suitable UV filter substances which can be additionally used with the UV absorbers according to the present invention</u>
p-aminobenzoic acid derivatives, for example 4-dimethylaminobenzoic acid 2-ethylhexyl ester;
salicylic acid derivatives, for example salicylic acid 2-ethylhexyl ester;
benzophenone derivatives, for example 2-hydroxy-4-methoxybenzophenone and its 5-sulfonic acid derivative;
dibenzoylmethane derivatives, for example 1-(4-tert-butylphenyl)-3-(4-methoxyphenyl)-propane-1,3-dione;
diphenylacrylates, for example 2-ethylhexyl 2-cyano-3,3-diphenylacrylate, and 3-(benzofuranyl) 2-cyanoacrylate;
3-imidazol-4-ylacrylic acid and esters;
benzofuran derivatives, especially 2-(p-aminophenyl)benzofuran derivatives, described in EP-A-582 189, US-A-5 338 539, US-A-5 518 713 and EP-A-613 893;
polymeric UV absorbers, for example the benzylidene malonate derivatives described in EP-A-709 080;
cinnamic acid derivatives, for example the 4-methoxycinnamic acid 2-ethylhexyl ester and isoamyl ester or cinnamic acid derivatives described in US-A-5 601 811 and WO 97/00851;
camphor derivatives, for example 3-(4'-methyl)benzylidene-bornan-2-one, 3-benzylidene-bornan-2-one, N-[2(and 4)-2-oxyborn-3-ylidene-methyl]-benzyl]acrylamide polymer, 3-(4'-trimethylammonium)-benzylidene-bornan-2-one methyl sulfate, 3,3'-(1,4-phenylenedimethine)-bis(7,7-dimethyl-2-oxo-bicyclo[2.2.1]heptane-1-methanesulfonic acid) and salts, 3-(4'-sulfo)benzylidene-bornan-2-one and salts; camphorbenzalkonium methosulfate;
hydroxyphenyltriazine compounds, for example 2-(4'-methoxyphenyl)-4,6-bis(2'-hydroxy-4'-n-octyloxyphenyl)-1,3,5-triazine; 2,4-bis[[4-(3-(2-propyloxy)-2-hydroxy-propyloxy)-2-hydroxy]-phenyl]-6-(4-methoxyphenyl)-1,3,5-triazine; 2,4-bis[[4-(2-ethyl-hexyloxy)-2-hydroxy]-phenyl]-6-[4-(2-methoxyethyl-carboxyl)-phenylamino]-1,3,5-triazine; 2,4-bis[[4-(tris(trimethylsilyloxy-silylpropyloxy)-2-hydroxy)-phenyl]-6-(4-methoxyphenyl)-1,3,5-triazine; 2,4-bis[[4-(2"-methylpropenyloxy)-2-hydroxy]-phenyl]-6-(4-methoxyphenyl)-1,3,5-triazine; 2,4-bis[[4-(1',1',1',3',5',5',5'-heptamethyltrisilyl-2"-methyl-propyloxy)-2-hydroxy]-phenyl]-6-(4-methoxyphenyl)-1,3,5-triazine; 2,4-bis[[4-(3-(2-propyloxy)-2-hydroxy-propyloxy)-2-hydroxy]-phenyl]-6-[4-ethylcarboxy]-phenylamino]-1,3,5-triazine;
benzotriazole compounds, for example 2,2'-methylene-bis(6-(2H-benzotriazol-2-yl)-4-(1,1,3,3-tetramethylbutyl)-phenol;

<u>Table 1. Suitable UV filter substances which can be additionally used with the UV absorbers according to the present invention</u>	
trianilino-s-triazine derivatives, for example 2,4,6-trianiline-(p-carbo-2'-ethyl-1'-oxy)-1,3,5-triazine and the UV absorbers disclosed in US-A-5 332 568, EP-A-517 104, EP-A-507 691, WO 93/17002 and EP-A-570 838;	
2-phenylbenzimidazole-5-sulfonic acid and salts thereof;	
menthyl o-aminobenzoates;	
physical sunscreens coated or not as titanium dioxide, zinc oxide, iron oxides, mica, MnO, Fe ₂ O ₃ , Ce ₂ O ₃ , Al ₂ O ₃ , ZrO ₂ . (surface coatings: polymethylmethacrylate, methicone (methylhydrogenpolysiloxane as described in CAS 9004-73-3), dimethicone, isopropyl titanium triisostearate (as described in CAS 61417-49-0), metal soaps as magnesium stearate (as described in CAS 4086-70-8), perfluoroalcohol phosphate as C9-15 fluoroalcohol phosphate (as described in CAS 74499-44-8; JP 5-86984 , JP 4-330007)). The primary particle size is an average of 15nm–35nm and the particle size in dispersion is in the range of 100nm – 300nm.	
aminohydroxy-benzophenone derivatives disclosed in DE 10011317, EP 1133980 and EP 1046391	
phenyl-benzimidazole derivatives as disclosed in EP 1167358	
the UV absorbers described in "Sunscreens", Eds. N.J. Lowe, N.A.Shaath, Marcel Dekker, Inc. , New York and Basle or in Cosmetics & Toiletries (107), 50ff (1992) also can be used as additional UV protective substances.	

<u>Table 2. Suitable UV filter substances which can be additionally used with the UV absorbers according to the present invention</u> (Abbreviations T: table, R: row, Comp: compound, Ex: compound(s) of patent example, p: page); the generic scope of the UV absorbers is described in the left-hand column; specific compounds are indicated in the right-hand column	
DE 100331804	Tab 1 p 4, tab 2 + 3 p 5
EP 613893	Ex 1-5 + 15, T 1, pp 6-8
EP 1000950	Comp. in table 1, pp 18-21
EP 1005855	T 3, p 13
EP 1008586	Ex 1-3, pp 13-15
EP 1008593	Ex 1-8, pp 4-5
EP 1027883	Compound VII, p 3
EP 1027883	Comp I-VI, p 3
EP 1028120	Ex 1-5, pp 5-13
EP 1059082	Ex 1; T 1, pp 9-11
EP 1060734	T 1-3, pp 11-14

<p>Table 2. Suitable UV filter substances which can be additionally used with the UV absorbers according to the present invention (Abbreviations T: table, R: row, Comp: compound, Ex: compound(s) of patent example, p: page); the generic scope of the UV absorbers is described in the left-hand column; specific compounds are indicated in the right-hand column</p>	
EP 1064922	Compounds 1-34, pp 6-14
EP 1081140	Ex 1-9, pp 11-16
EP 1103549	Compounds 1-76, pp 39-51
EP 1108712	4,5-Dimorpholino-3-hydroxypyridazine
EP 1123934	T 3, p 10
EP 1129695	Ex 1-7, pp 13-14
EP 1167359	Ex 1 p11 and ex 2 p 12
EP 1258481	Ex 1, pp 7,8
EP 420707 B1	Ex 3, p 13 (CAS Regno 80142-49-0)
EP 503338	T 1, pp 9-10
EP 517103	Ex 3,4,9,10 pp 6-7
EP 517104	Ex 1, T 1, pp 4-5; Ex 8, T 2, pp 6-8
EP 626950	all compounds
EP 669323	Ex 1-3, p 5
EP 780382	Ex 1-11, pp 5-7
EP 823418	Ex 1-4, pp 7-8
EP 826361	T 1, pp 5-6
EP 832641	Ex 5+6 p 7; t 2, p 8
EP 832642	Ex 22, T 3 pp, 10-15; T 4, p 16
EP 852137	T 2, pp 41-46
EP 858318	T 1, p 6
EP 863145	Ex 1-11, pp 12-18
EP 895776	Comp. in rows 48-58, p 3; R 25+33, p 5
EP 911020	T 2, p 11-12
EP 916335	T 2-4, pp 19-41
EP 924246	T 2, p 9
EP 933376	Ex 1-15, pp 10-21
EP 944624	Ex 1+2, pp13-15
EP 945125	T 3 a+b, pp 14-15

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EP 967200	Ex 2; T 3-5, pp 17-20
EP 969004	Ex 5, T 1, pp 6-8
JP 2000319629	CAS Regno. 80142-49-0, 137215-83-9, 307947-82-6
US 5635343	all compounds on pp 5-10
US 5338539	Ex 1-9, pp 3+4
US 5346691	Ex 40, p 7; T 5, p 8
US 5801244	Ex 1-5, pp 6-7
WO 0149686	Ex 1-5, pp 16-21
WO 0168047	Tables on pp 85-96
WO 0181297	Ex 1-3 pp 9-11
WO 0238537	All compounds p 3, compounds on rows 1-10 p 4
WO 9217461	Ex 1-22, pp 10-20
WO 9220690	Polymeric comp in examples 3-6
WO 9301164	T 1+2, pp 13-22
WO 9714680	Ex 1-3, p 10

Table 3. Suitable UV filter substances and adjuvants which can be additionally used with the UV absorbers according to the present invention		
No.	Chemical Name	CAS No.
1	(+/-)-1,7,7-trimethyl-3-[(4-methylphenyl)methylene]bicyclo-[2.2.1]heptan-2-one; p-methyl benzylidene camphor	36861-47-9
2	1,7,7-trimethyl-3-(phenylmethylene)bicyclo[2.2.1]heptan-2-one; benzylidene camphor	15087-24-8
3	(2-Hydroxy-4-methoxyphenyl)(4-methylphenyl)methanone	1641-17-4
4	2,4-dihydroxybenzophenone	131-56-6
5	2,2',4,4'-tetrahydroxybenzophenone	131-55-5
6	2-Hydroxy-4-methoxy benzophenone;	131-57-7
7	2-Hydroxy-4-methoxy benzophenone-5-sulfonic acid	4065-45-6
8	2,2'-dihydroxy-4,4'-dimethoxybenzophenone	131-54-4
9	2,2'-Dihydroxy-4-methoxybenzophenone	131-53-3

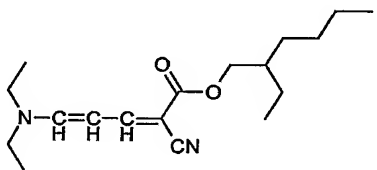
Table 3. Suitable UV filter substances and adjuvants which can be additionally used with the UV absorbers according to the present invention

No.	Chemical Name	CAS No.
10	Alpha-(2-oxoborn-3-ylidene)toluene-4-sulphonic acid and its salts; Mexoryl SL	56039-58-8
11	1-[4-(1,1-dimethylethyl)phenyl]-3-(4-methoxyphenyl)propane-1,3-dione; Avobenzene	70356-09-1
12	Methyl N,N,N-trimethyl-4-[(4,7,7-trimethyl-3-oxobicyclo[2,2,1]hept-2-ylidene)methyl]anilinium sulphate; Mexoryl SO	52793-97-2
22	3,3,5-Trimethyl cyclohexyl-2-hydroxy benzoate; homosalate	118-56-9
23	Isopentyl p-methoxycinnamate; isoamyl methoxy cinnamate	71617-10-2
27	Menthyl-o-aminobenzoate	134-09-8
28	Menthyl salicylate	89-46-3
29	2-Ethylhexyl 2-cyano,3,3-diphenylacrylate; Octocrylene	6197-30-4
30	2- ethylhexyl 4- (dimethylamino)benzoate	21245-02-3
31	2- ethylhexyl 4- methoxycinnamate; Octyl Methoxy Cinnamate	5466-77-3
32	2- ethylhexyl salicylate	118-60-5
33	Benzoic acid, 4, 4',4''-(1, 3, 5- triazine- 2, 4, 6- triyltriimino)tris-,tris(2-ethylhexyl)ester; 2,4,6-Trianiilino-(p-carbo-2'-ethylhexyl-1'-oxi)-1,3,5-triazine; Ethylhexyl Triazone (Uvinul T150)	88122-99-0
34	4- aminobenzoic acid	150-13-0
35	Benzoic acid, 4-amino-, ethyl ester, polymer with oxirane	113010-52-9
38	2- phenyl- 1H- benzimidazole- 5- sulphonic acid; phenylbenzimidazolsulfonic acid	27503-81-7
39	2-Propenamide, N-[[4-[(4,7,7-trimethyl-3-oxobicyclo[2.2.1]hept-2-ylidene)methyl]phenyl]methyl]-, homopolymer	147897-12-9
40	Triethanolamine salicylate	2174-16-5
41	3, 3'-(1,4-phenylenedimethylene)bis[7, 7-dimethyl- 2-oxo- bicyclo[2.2.1]heptane-1 methanesulfonic acid]; Cibafast H	90457-82-2
42	Titanium dioxide	13463-67-7
44	Zinc oxide	1314-13-2
45	2,2'-Methylene-bis-[6-(2H-benzotriazol-2-yl)-4-(1,1,3,3-tetramethyl-butyl)-phenol]; Tinosorb M	103597-45-1
46	2,4-bis[[4-(2-ethylhexyloxy)-2-hydroxy]-phenyl]-6-(4-methoxy-phenyl)-(1,3,5)-triazine; Tinosorb S	187393-00-6
47	1H-Benzimidazole-4,6-disulfonic acid, 2,2'-(1,4-phenylene)bis-, disodium salt	180898-37-7

Table 3. Suitable UV filter substances and adjuvants which can be additionally used with the UV absorbers according to the present invention

No.	Chemical Name	CAS No.
48	Benzoic acid, 4,4'-[[[6-[[[4-[[[1,1-dimethylethyl]amino]carbonyl]-phenyl]amino]1,3,5-triazine-2,4-diyl]diimino]bis-, bis(2-ethylhexyl)ester; diethylhexyl butamido triazone; Uvasorb HEB	154702-15-5
49	Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]-; drometrizole trisiloxane; Mexoryl XL	155633-54-8
50	Dimethicodiethylbenzalmalonate; Polysilicone 15; Parsol SLX	207574-74-1
51	Benzenesulfonic acid, 3-(2H-benzotriazol-2-yl)-4-hydroxy-5-(1-methylpropyl)-, monosodium salt ; Tinogard HS	92484-48-5
52	Benzoic acid, 2-[4-(diethylamino)-2-hydroxybenzoyl]-, hexyl ester; Uvinul A Plus	302776-68-7
53	1-Dodecanaminium, N-[3-[[4-(dimethylamino)benzoyl]amino]-propyl]N,N-dimethyl-, salt with 4-methylbenzenesulfonic acid (1:1); Escalol HP610	156679-41-3
54	1-Propanaminium, N,N,N-trimethyl-3-[(1-oxo-3-phenyl-2-propenyl)-amino]-, chloride	177190-98-6
55	1H-Benzimidazole-4,6-disulfonic acid, 2,2'-(1,4-phenylene)bis-	170864-82-1
56	1,3,5-Triazine, 2,4,6-tris(4-methoxyphenyl)-	7753-12-0
57	1,3,5-Triazine, 2,4,6-tris[4-[(2-ethylhexyl)oxy]phenyl]-	208114-14-1
58	1-Propanaminium, 3-[[3-[3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)4-hydroxyphenyl]-1-oxopropyl]amino]-N,N-diethyl-N-methyl-, methyl sulfate (salt)	340964-15-0
59	2-Propenoic acid, 3-(1H-imidazol-4-yl)-	104-98-3
60	Benzoic acid, 2-hydroxy-, [4-(1-methylethyl)phenyl]methyl ester	94134-93-7
61	1,2,3-Propanetriol, 1-(4-aminobenzoate); Glyceryl PABA	136-44-7
62	Benzeneacetic acid, 3,4-dimethoxy-a-oxo-	4732-70-1
63	2-Propenoic acid, 2-cyano-3,3-diphenyl-, ethyl ester	5232-99-5
64	Anthralinic acid, p-menth-3-yl ester	134-09-8
65	2,2'-bis(1,4-phenylene)-1H-benzimidazole-4,6-disulphonic acid mono sodium salt or Disodium phenyl dibenzimidazole tetrasulfonate or Neoheliopan AP	349580-12-7,
66	1,3,5-Triazine-2,4,6-triamine, N,N'-bis[4-[5-(1,1-dimethylpropyl)-2-benzoxazolyl]phenyl]-N''-(2-ethylhexyl)- or Uvasorb K2A	288254-16-0
67	Merocyanine derivatives as described in WO 2004006878 and in IPCOM000022279D	

Table 3. Suitable UV filter substances and adjuvants which can be additionally used with the UV absorbers according to the present invention

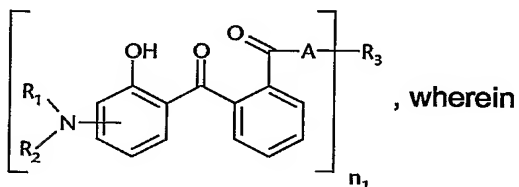
No.	Chemical Name	CAS No.
68		
68	sterols (cholesterol, lanosterol, phytosterols), as described in WO0341675	
69	mycosporines and/or mycosporine-like amino acids as described in WO2002039974, e.g. Helioguard 365 from Milbelle AG, isolated mycosporine like amino acids from the red alga porphyra umbilicalis (INCI: Porphyra Umbilicalis) that are encapsulated into liposomes,)	
70	alpha-lipoic-acid as described in DE 10229995	
71	synthetic organic polymers as described in EP 1371358, [0033]-[0041]	
72	phyllosilicates as described in EP 1371357 [0034]-[0037]	
73	silica compounds as described in EP1371356, [0033]-[0041]	
74	inorganic particles as described in DE10138496 [0043]-[0055]	
75	latex particles as described in DE10138496 [0027]-[0040]	
76	1H-Benzimidazole-4,6-disulfonic acid, 2,2'-(1,4-phenylene)bis-, disodium salt ; Bisimidazylate; Neo Heliopan APC	180898-37-7

Preferably, the following UV filter combinations are of special interest:

- UV-filter combinations (A) comprising

(a₁) at least one UV-filter of formula (1) and

(a₂) at least one aminobenzophenone derivative of formula

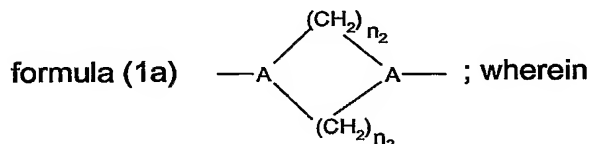


R₁ and R₂ independently from each other are; C₁-C₂₀alkyl; C₂-C₂₀alkenyl; C₃-C₁₀cycloalkyl; C₃-C₁₀cycloalkenyl; or R₁ and R₂ together with the linking nitrogen atom form a 5- or 6-membered heterocyclic ring;

n_1 is a number from 2 to 4;

when n_1 is 2,

R_3 is an alkylene-, cycloalkylene, alkenylene or phenylene radical which is optionally substituted by a carbonyl- or carboxy group; a radical of formula $^*CH_2-C\equiv C-CH_2^*$ or R_3 together with A forms a bivalent radical of the



n_2 is a number from 1 to 3;

when n_1 is 3,

R_3 is an alkanetriyl radical;

when n_1 is 4,

R_3 is an alkanetetrayl radical;

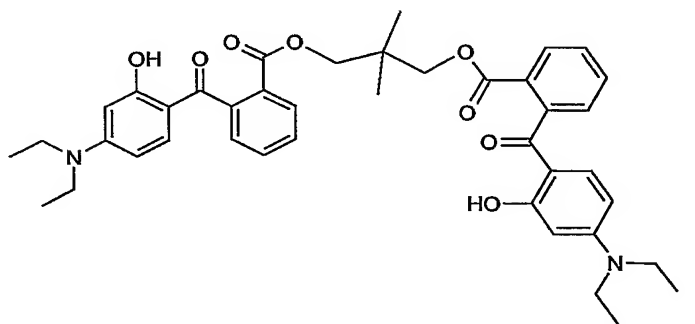
A is -O-; or -N(R_5)-; and

R_5 is hydrogen; C_1 - C_5 alkyl; or hydroxy- C_1 - C_5 alkyl.

Most preferred are UV-filter combinations (A1) comprising

(a₃) at least one UV-filter of formula (3); and

(a₄) the compound of formula



- UV-filter combinations (B) comprising

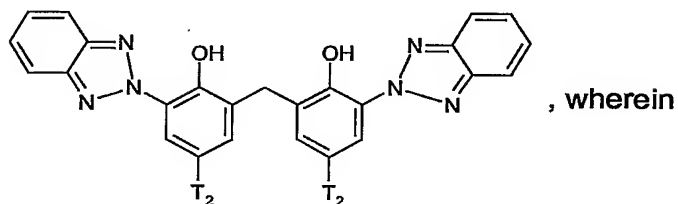
(s₁) at least one UV-filter of formula (1), preferably the compound of formula (3); and

(s₂) benzoic acid, 4,4'-[[6-[[4-[[[(1,1-dimethylethyl)amino]carbonyl]phenyl]amino]1,3,5-triazine-2,4-diyl]diimino]bis-, bis(2-ethylhexyl)ester; diethylhexyl butamido triazone (Uvasorb HEB);

- UV-filter combinations (C) comprising

(c₁) at least one UV filter of formula (1); and

(c₂) at least one benzotriazole derivative of formula

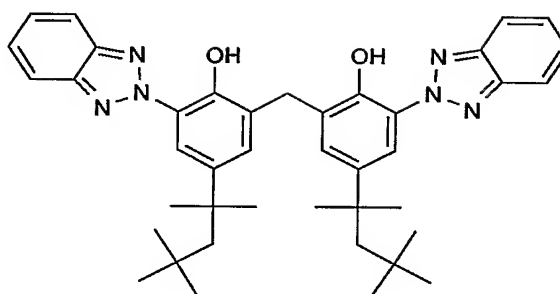


T₂ is C₁-C₁₀alkyl or phenyl-substituted C₁-C₄alkyl;

Most preferred are UV-filter combinations (C1) comprising

(c₃) the compound of formula (3); and

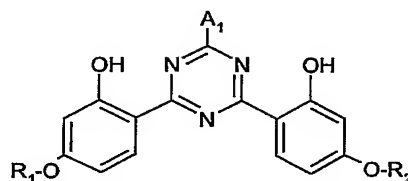
(c₄) the micronized compound of formula



- UV-filter combinations (D) comprising

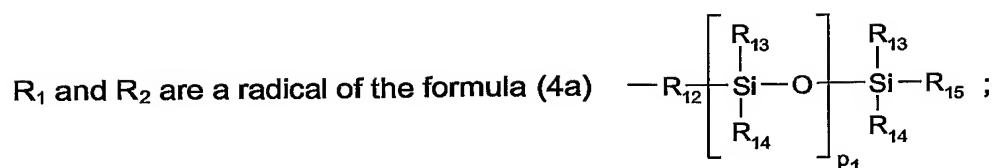
(d₁) at least one UV-filter of formula (1); and

(d₂) at least one compound of formula



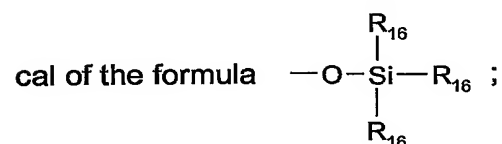
in which

R₁ and R₂, independently of one another, are C₃-C₁₈alkyl; C₂-C₁₈alkenyl; a radical of the formula -CH₂-CH(-OH)-CH₂-O-T₁ ; or



R_{12} is a direct bond; a straight-chain or branched C_1 - C_4 alkylene radical or a radical of the formula $-C_{m_1}H_{2m_1}$ or $-C_{m_1}H_{2m_1}O-$;

R_{13} , R_{14} and R_{15} , independently of one another, are C_1 - C_{18} alkyl; C_1 - C_{18} alkoxy or a radi-

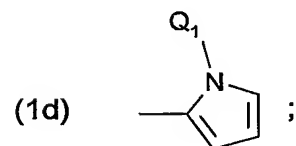
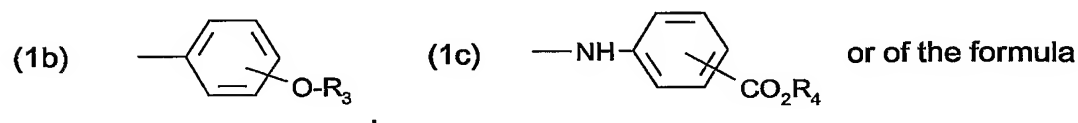


R_{16} is C_1 - C_5 alkyl;

m_1 and m_3 , independently of one another, are 1 to 4;

p_1 is 0; or a number from 1 to 5;

A_1 is a radical of the formula



R_3 is hydrogen; C_1 - C_{10} alkyl, $-(CH_2CHR_5-O)_{n_1}-R_4$; or a radical of the formula



R_4 is hydrogen; M; C_1 - C_5 alkyl; or a radical of the formula $-(CH_2)_{m_2}-O-T_1$;

R_5 is hydrogen; or methyl;

T_1 is hydrogen; or C_1 - C_8 alkyl;

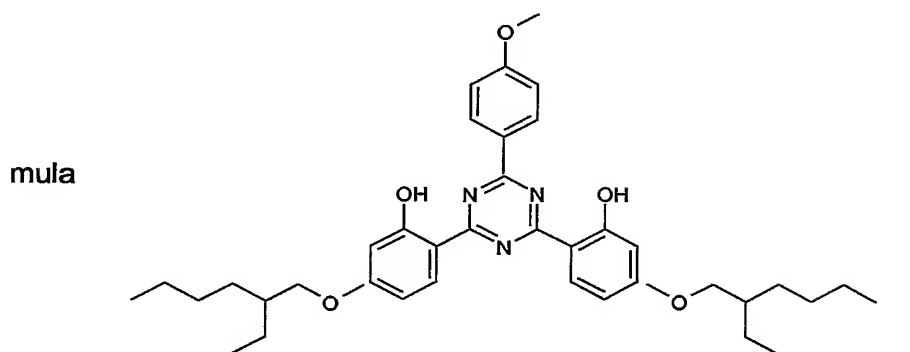
Q_1 C_1 - C_{18} alkyl;

M is a metal cation;

m_2 is 1 to 4; and

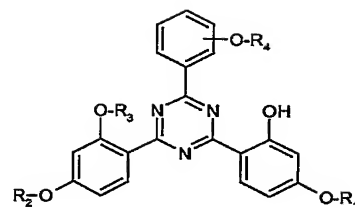
n_1 is 1-16.

Most preferred are UV-filter combinations (D1) comprising
(d₃) the compound of formula (3); and
(d₄) the compound of for-



- UV-filter combinations (E) comprising
(e₁) at least one UV-filter of formula (1) and

(e₂) at least one hydroxyphenyltriazine compound of formula



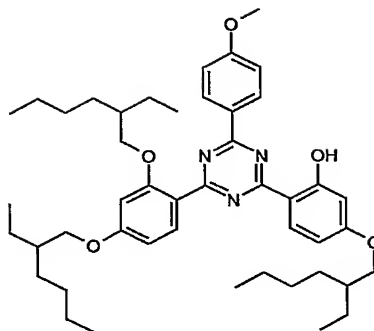
wherein

R_1 , R_2 and R_3 are each independently of the others C_1 - C_{18} alkyl; C_2 - C_{10} alkenyl; or
phenyl- C_1 - C_4 alkyl; and

R_4 is hydrogen; or C_1 - C_5 alkyl.

Most preferred are UV-filter combinations (E1) comprising
(e₃) the compound of formula (3); and

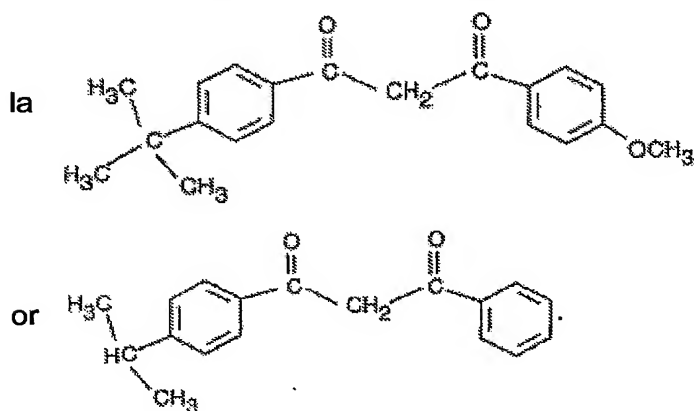
(e₄) the compound of formula



- UV-filter combinations (F) comprising

(f₁) at least one UV-filter of formula (1); and

(f₂) at least one dibenzoylmethane derivative of formu-



Most preferred are UV-filter combinations (F1) comprising

(f₃) the compound of formula (3); and

(f₄) 1-[4-(1,1-dimethylethyl)phenyl]-3-(4-methoxyphenyl)propane-1,3-dione (Avobenzzone);

- UV-filter combinations (G) comprising

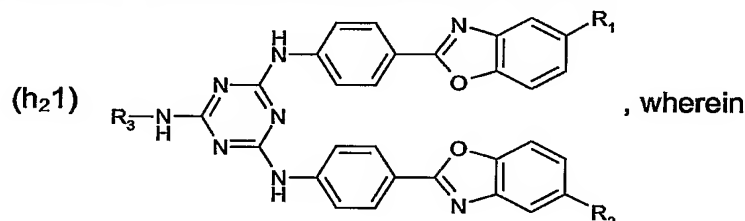
(g₁) at least one UV-filter of formula (1), preferably the compound of formula (3); and

(g₂) disodium phenyl dibenzimidazole tetrasulfonate (Heliopan AP).

- UV-filter combinations (H) comprising

(h₁) at least one symmetrical triazine derivatives of formula (1); and

(h₂) benzoxazole-substituted triazines of formula



R₁, R₂ and R₃ independently from each other are branched or unbranched C₁-C₁₂alkyl.

Most preferred are UV-filter combinations comprising

(h₃) the compound of formula (3); and

(h₄) 1,3,5-triazine-2,4,6-triamine, N,N'-bis[4-[5-(1,1-dimethylpropyl)-2-benzoxazolyl]phenyl]-N''-(2-ethylhexyl); (CAS No. 288254-16-0).

Furthermore, UV filter combination (H2) comprising

(h₅) the compound of formula (3); and

(h₆) at least one of the compound of formula (h₂1), wherein

(h₆₁) R₁ and R₂ are tert.amyl; and R₃ is tert.butyl; or wherein

(h₆₂) R₁ and R₂ are tert.butyl and R₃ is tert.octyl; or wherein

(h₆₃) R₁ and R₂ are tert.butyl; and R₃ is 2-ethylhexyl; or wherein

(h₆₄) R₁ and R₂ are tert.amyl; and R₃ is 2-ethylhexyl;

are of preferred interest.

- UV-filter combinations (I) comprising

(i₁) at least one UV-filter of formula (1), preferably the compound of formula (3); and

(i₂) 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]-; (CAS-No. 155633-54-8; Drometrizole Trisiloxane; Mexoryl XL);

- UV-filter combinations (K) comprising

(k₁) at least one UV-filter of formula (1), preferably the compound of formula (3); and

(k₂) siloxanes and silicones, di-Me, 1-[[4-[3-ethoxy-2-(ethoxycarbonyl)-3-oxo-1-propenyl]phenoxy]methyl]ethenyl Me, 3-[4-[3-ethoxy-2-(ethoxycarbonyl)-3-oxo-1-propenyl]phenoxy]-1-propenyl Me, Me hydrogen (Dimethicodiethylbenzalmalonate ; CAS-No. 207574-74-1);

- UV-filter combinations (L) comprising

- (l₁) at least one UV-filter of formula (1), preferably the compound of formula (3); and
(l₂) (+/-)-1,7,7-trimethyl-3-[(4-methylphenyl)methylene]bicyclo[2.2.1]heptan-2-one; p-methyl benzylidene camphor;

- UV-filter combinations (M) comprising

- (m₁) at least one UV-filter of formula (1), preferably the compound of formula (3); and
(m₂) 1-(2-oxoborn-3-ylidene)toluene-4-sulphonic acid and its salts (Mexoryl SL);

- UV-filter combinations (N) comprising

- (n₁) at least one UV-filter of formula (1), preferably the compound of formula (3); and
(n₂) methyl N,N,N-trimethyl-4-[(4,7,7-trimethyl-3-oxobicyclo[2,2,1]hept-2-ylidene)methyl]-anilinium sulphate (Mexoryl SO);

- UV-filter combinations (O) comprising

- (o₁) at least one UV-filter of formula (1), preferably the compound of formula (3); and
(o₂) 2-ethylhexyl 2-cyano,3,3-diphenylacrylate (Octocrylene);

- UV-filter combinations (P) comprising

- (p₁) at least one UV-filter of formula (1), preferably the micronized compound of formula (3); and
(p₂) 2-ethylhexyl 4-methoxycinnamate (octyl methoxy cinnamate);

- UV-filter combinations (Q) comprising

- (q₁) at least one UV-filter of formula (1), preferably the compound of formula (3); and
(q₂) benzoic acid, 4,4',4''-(1,3,5-triazine-2,4,6-triyltriimino)tris-,tris(2-ethylhexyl)ester; 2,4,6-Trianilino-(p-carbo-2'-ethylhexyl-1'-oxi)-1,3,5-triazine (Ethylhexyl Triazone);

- UV-filter combinations (R) comprising

- (r₁) at least one UV-filter of formula (1), preferably the compound of formula (3); and
(r₂) 2-phenyl-1H-benzimidazole-5-sulphonic acid (Phenylbenzimidazol sulfonic Acid);

Cosmetic compositions comprising a UV filter according to component (a) and optionally of component (b) are useful anti-tanning agents.

Cosmetic or pharmaceutical preparations contain from 0.05-40% by weight, based on the total weight of the composition, of a UV filter according to component (a) and optionally of component (b).

Preference is given to the use of mixing ratios of the UV absorber of formula (1) (component (a)) and optionally further UV filters (component (b)) (as for example described in Table 1-3) from 1:99 to 99:1, preferably from 1:95 to 95:1 and most preferably from 10:90 to 90:10, based on weight. Of special interest are mixing ratios of from 20:80 to 80:20, preferably from 40:60 to 60:40 and most preferably approximately 50:50. Such mixtures can be used, *inter alia*, to improve the solubility or to increase UV absorption.

The UV filters of component (b) according to the present invention can be used either in the dissolved state (soluble organic filters, solubilized organic filters) or in the micronised state (nanoscalar organic filters, particulate organic filters, UV-absorber pigments).

Any known process suitable for the preparation of microparticles can be used for the preparation of the micronised UV absorbers, for example:

- wet-milling (low viscous micronization process for pumpable dispersions), with a hard grinding medium, for example zirconium silicate balls in a ball mill and a protective surfactant or a protective polymer in water or in a suitable organic solvent;
- wet-kneading (high viscous micronization process non pump-able pastes) using a continuous or discontinuous (batch) kneader. For a wet-kneading process a solvent (water or cosmetically acceptable oils), a grinding-aid (surfactant, emulsifier) and a polymeric grinding aid may be used.
- spray-drying from a suitable solvent, for example aqueous suspensions or suspensions containing organic solvents, or true solutions in water, ethanol, dichloroethane, toluene or N-methylpyrrolidone etc..
- by the expansion according to the RESS process (Rapid Expansion of Supercritical Solutions) of supercritical fluids (e.g. CO₂) in which the UV filter or filters is/are dis-

solved, or the expansion of fluid carbon dioxide together with a solution of one or more UV filters in a suitable organic solvent;

- by reprecipitation from suitable solvents, including supercritical fluids (GASR process = Gas Anti-Solvent Recrystallisation / PCA process = Precipitation with Compressed Anti-solvents).

As milling apparatus for the preparation of the micronised organic UV absorbers there may be used, for example, a jet mill, ball mill, vibratory mill or hammer mill, preferably a high-speed mixing mill. Even more preferably used are modern ball mills; manufactures of these mill-types are for example Netzsch (LMZ-mill), Drais (DCP-viscoflow or cosmo), Bühler AG (centrifugal mills) or Bachhofer. The grinding is preferably carried out with a grinding aid. As kneading apparatus for the preparation of the micronised organic UV absorbers examples are typically sigma-hook batch kneaders but also serial batch kneaders (IKA-Werke) or continuous kneaders (Contiuna from Werner und Pfleiderer).

Useful low molecular weight grinding aids for all the above micronizing processes are surfactants and emulsifiers as disclosed below in the chapters "emulsifiers" and "surfactants" and "fatty alcohols".

Useful polymeric grinding aids for water dispersion are cosmetically acceptable water soluble polymers with $M_n > 500$ g/mol for example acrylates (Salcare types), modified or non-modified polysaccharides, polyglucosides or xanthan gum. Furthermore an alkylated vinylpyrrolidone polymer, a vinylpyrrolidone/vinyl acetate copolymer, an acyl glutamate, an alkyl polyglucoside, cetareth-25 or a phospholipid may be used. Oil dispersions may contain cosmetically acceptable waxy polymers or natural waxes as polymeric grinding aid in order to adjust viscosity during and after processing. Examples of other useful polymeric grinding aids are disclosed below in the chapter "polymers".

Useful solvents for the grinding process are water, brine, (poly-)ethylenglycol, glycerine or cosmetically acceptable oils. Other useful solvents are disclosed below in the chapters "esters of fatty acids", "natural and synthetic triglycerides including glyceryl esters and derivatives", "perlescent waxes", "hydrocarbon oils" and "silicones or siloxanes".

The micronised UV absorbers so obtained usually have an average particle size from 0.02 to 2, preferably from 0.03 to 1.5, and more especially from 0.05 to 1.0 micrometer.

The UV absorbers according to the present invention can also be used as dry substrates in powder form. For that purpose the UV absorbers are subjected to known grinding methods, such as vacuum atomization, countercurrent spray-drying etc.. Such powders have a particle size from 0.1 micrometer to 2 micrometer. In order to avoid the occurrence of agglomeration, the UV absorbers may be coated with a surface-active compound prior to the pulverization process, for example with an anionic, non-ionic or amphoteric surfactant, e.g. a phospholipid or a known polymer, such as PVP, an acrylate etc..

The UV absorbers according to the present invention can also be used in specific carriers for cosmetics, for example in solid lipid nanoparticles (SLN) or in inert sol-gel microcapsules wherein the UV absorbers are encapsulated (Pharmazie, 2001 (56), p. 783-786). Lipid nanoparticles (CLN, = Crystalline Lipid Nanoparticles) as described in Internat. J. Pharmaceutics, 2002, 242, P. 373-375 can be used as active carrier for UV filter according to the invention.

The cosmetic composition used according to the present invention may additionally contain one or more than one further UV filter as listed in tables 1-3.

The UV filters according to component (a) and (b) can be prepared for their use in cosmetic preparations by physically mixing the UV filter(s) with the adjuvant using customary methods, for example by simply stirring together the individual components, especially by making use of the dissolution properties of already known cosmetic UV filters, like octyl methoxy cinnamate, salicylic acid isooctyl ester, etc. The UV filter can be used, for example, without further treatment, or in the micronised state, or in the form of a powder.

As component (c) cosmetic and/or pharmaceutical active substances can be used.

Examples of active ingredients which can be used and which may be mentioned are:

- active ingredients for antimicrobial finishing and simultaneous antiinflammatory action;
- antiinflammatory active ingredients, for example farnesol, panthenol or avocado oil;

- active ingredients having a deodorant or antiperspirant action, for example Zn ricinoleates and alkyl citrates,
- undecylenic acid and derivatives thereof (e.g. diethanolamides)
- zinc undecylate;
- pyrithiones, for example sodium pyrithione;
- fused-in fragrances or fragrance mixtures, for example menthol, geraniol etc., which impart a permanent odour which is uniform in intensity to these micropigments and the formulations which comprise them.
- biogenic active ingredients like tocopherol, tocopherol acetate, tocopherol palmitate, ascorbic acid, deoxyribonucleic acid, retinol, bisabolol, allantoin, phytantriol, panthenol, AHA acids, amino acids, ceramides, pseudoceramides, essential oils, plant extracts and vitamin complexes.

antioxidants:

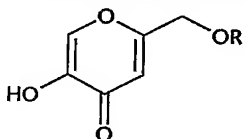
- Amino acids (glycine, histidine, tyrosine, tryptophane) and derivatives thereof,
- imidazole, like urocanine acid and derivatives thereof,
- peptides like D,L-carnosine, D-carnosine, L-carnosine and derivatives thereof (like anserine),
- carotinoides, carotenes like α -carotin, β -carotin, lycopin and derivatives thereof,
- chlorogenic acid and derivatives thereof,
- liponic acid and derivatives thereof like dihydroliponic acid,
- aurothioglycose, propylthiouracil and other thioles like thioredoxin, glutathion, cystein, cystin, Cystamine and their glycosyl-, N-acetyl-, methyl-, ethyl-, propyl-, amyl-, butyl- and lauryl-, palmitoyl-, oleyl-, α -linoleyl-, cholesteryl- and glyceryl esters and salts thereof,
- dilaurylthiodipropionate, distearylthiodipropionate, thiodipropionic acid and derivatives thereof (ester, ether, peptides, lipids, nucleotides, nucleosides and salts thereof),
- sulfoximine compounds like buthioninsulfoximine, homocysteinsulfoximine, butioninsulfon, penta-, hexa-, heptathioninsulfoximine,
- (metal)-chelating agents like α -hydroxy fatty acids, palmitic acids, phytinic acid, lactoferrine, α -hydroxy acids like citric acid, lactic acid, gallic extracts like bilirubin, biliverdin, EDTA, EGTA and derivatives thereof, unsaturated fatty acids and derivatives thereof like α -linolenic acid, linolic acid, oil acid), folic acid and derivatives thereof, ubiquinone and ubiquinol and derivatives thereof, tocopherols and derivatives thereof

like vitamin-E-acetate), vitamin A and derivatives thereof like vitamin-A-palmitate and coniferylbenzoate of benzoe resin, rutinic acid and derivatives thereof, α -glycosylrutin, ferulaacid, furfurylidenglucitol, carnosin, butylhydroxytoluene, butylhydroxyanisol, nordihydroguajakresin acid, nordihydroguajaretacid, trihydroxybutyrophenone, urea acid and derivatives thereof, mannose and derivatives thereof, superoxid-Dismutase, zinc and derivatives thereof like ZnO or ZnSO₄), selen und derivatives thereof like selen-methionin, stilbene and derivatives thereof like stilbeneoxide, trans-stilbeneoxide and derivatives thereof.

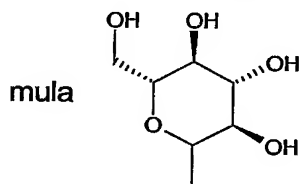
- HALS ("Hindered Amine Light Stabilizers") compounds may also be mentioned. Further synthetic and natural antioxidants are listed e.g. in patent WO 0025731: Structures 1-3 (page 2), structure 4 (page 6), structures 5-6 (page 7) and compounds 7-33 (page 8-14).

As component (d) pigment regulators, preferably skin whitening agents, may additionally be used.

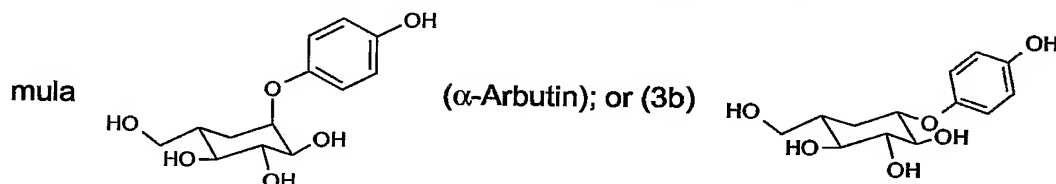
Useful representatives for component (d) are the following classes of substances:

1. γ -pyron derivates according to formula , wherein R is hydrogen

(= kojic acid; 5-hydroxy-2-hydroxymethyl-4H-pyran-4-on); or the radical of for-



2. Hydrochinon, also as glycosides and hydrochinon derivatives as glycosides, like 4-hydroxyphenyl-D-glucopyranoside (= α -, or β -Arbutin) of for-

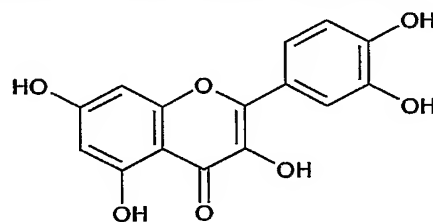


(β -Arbutin); 4-methoxyphenethylmethylether-D-glucopyranoside; 1,5,9,13-tetramethyl-

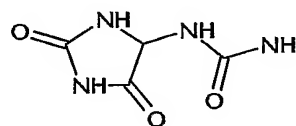
4,8,12-tetradecatrienyl (9Cl); 5,9,13-pentadecatriene-2-ol, 6,10,14-trimethyl-(9Cl); 1,5,9,13-tetramethyltetradecyl-D-glucopyranoside.

3. Resorcin derivatives like glabridin (1,3-benzenediol, 4-[(3R)-3,4-dihydro-8,8-dimethyl-2H,8H-benzo[1,2-b:3,4-b']dipyrans-3-yl]-) or 4-butylresorcinol (=rucinol); 2,4-dihydroxybenzophenones and isomeric benzophenones;
4. Glycines, L- α -glutamyl-L-cysteinyl- (= glutathion); cetylcystein; oligopeptides;
5. Alkyldicarboxylic acids, like azelain acid (nonandicarboxylic acid) and its mono- and diester;
6. 1,2-dihydroxyphenyl derivatives, like 4-(3,4-dihydroxyphenyl)butan-2-ol; 4-hydroxy-3-methoxybenzylacetone (=gingerone); 4H-1-benzopyran-4-one, 2-(3,4-dihydroxy-

phenyl)-3,5,7-trihydroxy- (= quercetin), of formula

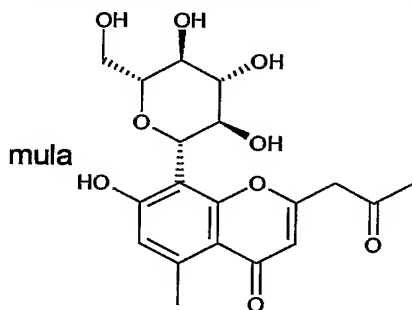


7. Urea, (2,5-dioxo-4-imidazolidinyl)- (= allantoin) of formula



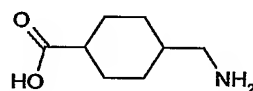
8. Furanones, like 3-Hydroxy-4,5-dimethyl-2(5H)-furanone; 3-Hydroxy-4-methyl-5-ethyl-2(5H)-furanone;
9. Phenylacetaldehydes;
10. Benzaldehydes; like 4-hydroxybenzaldehyd and 3-methylbenzaldehyd;
11. 4-methoxycinnamaldehyde;
12. Isomeric Decene acid ($C_{10}H_{18}O_2$);
13. Ascorbic acid and derivatives thereof, like 6-acylascorbic acid-2-glucoside; sulfate, stearate or phosphate of ascorbic acid;
14. Salicylic acid derivatives like 6-[(8Z)-8-pentadecenyl]-salicylic acid; (anacardinacid-monoen) and 6-[(8Z, 11Z)-8, 11, 14-pentadecatrienyl] salicylic acid (anacardinacid-triene);
15. phenolic compounds like 3-[8(Z)-pentadecenyl]phenol or curuminphenolic compounds like curcumin or hydroxydiphenylether compounds like Triclosan or Diclosan;
16. Benzo[b]pyranderivative like [1]benzopyrano[5,4,3-cde][1]benzopyran-5,10-dion, 2,3,7,8-tetrahydroxy- (7Cl, 8Cl, 9Cl) (= Ellagic acid); 2'-hydroxy-2,4,4,7,4'-penta-methylflavan; 2'-Flavanol, 2,4,4,4',7-pentamethyl-, acetate; 2-(3,4-dihydro-2,4,4,7-tetra-

methyl-2H-1-benzopyran-2-yl)-5-methylphenyl und (8 β -glglycopyranosyl-7-hydroxy-5-methyl-2-(2-oxopropyl)-4H-1-benzopyran-4-on (Aloesin), of for-

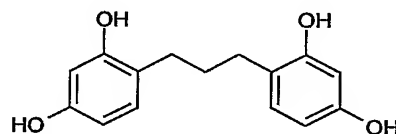


17. Bornyl- and cinnamate-derivatives, like 2-propenoic acid, 3-(4-hydroxyphenyl)-, 1,7,7-trimethylbicyclo[2.2.1]hept-2-yl ester, endo-; 2-propenoic acid, 3-(4-methoxyphenyl)-, 1,7,7-trimethylbicyclo[2.2.1]hept-2-yl ester, endo-; 2-propenoic acid, 3-(4-hydroxyphenyl)-, 1-methyl-3-(2,2,6-trimethylcyclohexyl)propyl ester; 2-propenoic acid, 3-phenyl-, 1-methyl-3-(2,2,6-trimethylcyclohexyl)propyl ester; 2-propenoic acid, 3-[4-(β -D-glucopyranosyloxy)phenyl]-, (1R,2S,4R)-1,7,7-trimethylbicyclo[2.2.1]hept-2-yl ester.
18. Azulenes and derivatives thereof like Guajazulen or Vetivazulen and Guaiol;
19. Cell messenger substances like cytokines; prostaglandines and peptide growth factors;
20. α -Hydroxy-carboxylic acids like α -hydroxypropionic acid, citric- and aconite acid

21. Compounds of formula



22. Compounds of formula



Preferably, the pigment regulator (d) is selected from kojic acid, arbutin, quercitin, aloesin, azelain acid, guaiol, and ellac acid.

The cosmetic or pharmaceutical preparations used for the present invention can be prepared by physically mixing components (a) – (d) with the adjuvant using customary methods, for example by simply stirring together the individual components, especially by

by making use of the dissolution properties of already known cosmetic UV absorbers, like octyl methoxy cinnamate, salicylic acid isooctyl ester, etc..

The cosmetic or pharmaceutical preparations may be, for example, creams, gels, lotions, alcoholic and aqueous/alcoholic solutions, emulsions, wax/fat compositions, stick preparations, powders or ointments. In addition to the above mentioned UV filters, the cosmetic or pharmaceutical preparations may contain further adjuvants as described below.

As water- and oil-containing emulsions (e.g. W/O, O/W, O/W/O and W/O/W emulsions or microemulsions) the preparations contain, for example, from 0.1 to 30 % by weight, preferably from 0.1 to 15 % by weight and especially from 0.5 to 10 % by weight, based on the total weight of the composition, of one or more UV absorbers, from 1 to 60 % by weight, especially from 5 to 50 % by weight and preferably from 10 to 35 % by weight, based on the total weight of the composition, of at least one oil component, from 0 to 30 % by weight, especially from 1 to 30 % by weight and preferably from 4 to 20 % by weight, based on the total weight of the composition, of at least one emulsifier, from 10 to 90 % by weight, especially from 30 to 90 % by weight, based on the total weight of the composition, of water, and from 0 to 88.9 % by weight, especially from 1 to 50 % by weight, of further cosmetically acceptable adjuvants.

The cosmetic or pharmaceutical compositions are useful agents for tanning prevention, skin wrinkling, anti-skinlifting and, together with pigment regulators (= component (d)), as skin lightening agents.

The cosmetic or pharmaceutical compositions/preparations according to the invention may also contain one or one more additional compounds as described below.

Fatty alcohols

Guerbet alcohols based on fatty alcohols having from 6 to 18, preferably from 8 to 10 carbon atoms including cetyl alcohol, stearyl alcohol, cetearyl alcohol, oleyl alcohol, octyl-dodecanol, benzoate of C12-C15 alcohols, acetylated lanolin alcohol, etc..

Esters of fatty acids

Esters of linear C₆-C₂₄ fatty acids with linear C₃-C₂₄ alcohols, esters of branched C₆-C₁₃carboxylic acids with linear C₆-C₂₄ fatty alcohols, esters of linear C₆-C₂₄ fatty acids with branched alcohols, especially 2-ethylhexanol, esters of hydroxycarboxylic acids with linear or branched C₆-C₂₂ fatty alcohols, especially dioctyl malates, esters of linear and/or branched fatty acids with polyhydric alcohols (for example propylene glycol, dimer diol or trimer triol) and/or Guerbet alcohols, for example caproic acid, caprylic acid, 2-ethylhexanoic acid, capric acid, lauric acid, isotridecanoic acid, myristic acid, palmitic acid, palmitoleic acid, stearic acid, isostearic acid, oleic acid, elaidic acid, petroselinic acid, linoleic acid, linolenic acid, elaeostearic acid, arachidic acid, gadoleic acid, behenic acid and erucic acid and technical-grade mixtures thereof (obtained, for example, in the pressure removal of natural fats and oils, in the reduction of aldehydes from Roelen's oxosynthesis or in the dimerisation of unsaturated fatty acids) with alcohols, for example, isopropyl alcohol, caproic alcohol, capryl alcohol, 2-ethylhexyl alcohol, capric alcohol, lauryl alcohol, isotridecyl alcohol, myristyl alcohol, cetyl alcohol, palmoleyl alcohol, stearyl alcohol, isostearyl alcohol, oleyl alcohol, elaidyl alcohol, petroselinyl alcohol, linoyl alcohol, linolenyl alcohol, elaeostearyl alcohol, arachidyl alcohol, gadoleyl alcohol, behenyl alcohol, erucyl alcohol and brassidyl alcohol and technical-grade mixtures thereof (obtained, for example, in the high-pressure hydrogenation of technical-grade methyl esters based on fats and oils or aldehydes from Roelen's oxosynthesis and as monomer fractions in the dimerisation of unsaturated fatty alcohols).

Examples of such ester oils are isopropylmyristate, isopropylpalmitate, isopropylstearate, isopropyl isostearate, isopropyloleate, n-butylstearate, n-hexyllaurate, n-decyloleate, iso-octylstearate, iso-nonylstearate, isononyl isononanoate, 2-ethylhexylpalmitate, 2-hexyllaurate, 2-hexyldecylstearate, 2-octyldodecylpalmitate, oleyloleate, oleylerucate, erucyloleate, erucylrucate, cetearyl octanoate, cetyl palmitate, cetyl stearate, cetyl oleate, cetyl behenate, cetyl acetate, myristyl myristate, myristyl behenate, myristyl oleate, myristyl stearate, myristyl palmitate, myristyl lactate, propylene glycol dicaprylate/caprate, stearyl heptanoate, diisostearyl malate, octyl hydroxystearate, etc..

Natural or synthetic triglycerides including glyceryl esters and derivatives

Di- or tri-glycerides, based on C₆-C₁₈ fatty acids, modified by reaction with other alcohols (caprylic/capric triglyceride, wheat germ glycerides, etc.). Fatty acid esters of polyglycerin (polyglyceryl-n such as polyglyceryl-4 caprate, polyglyceryl-2 isostearate, etc. or castor oil,

hydrogenated vegetable oil, sweet almond oil, wheat germ oil, sesame oil, hydrogenated cottonseed oil, coconut oil, avocado oil, corn oil, hydrogenated castor oil, shea butter, cocoa butter, soybean oil, mink oil, sunflower oil, safflower oil, macadamia nut oil, olive oil, hydrogenated tallow, apricot kernel oil, hazelnut oil, borago oil, etc.

Waxes including esters of long-chain acids and alcohols as well as compounds having wax-like properties, e.g., carnauba wax, beeswax (white or yellow), lanolin wax, candellila wax, ozokerite, japan wax, paraffin wax, microcrystalline wax, ceresin, cetearyl esters wax, synthetic beeswax, etc. Also, hydrophilic waxes as Cetearyl Alcohol or partial glycerides.

Pearlescent waxes:

Alkylene glycol esters, especially ethylene glycol distearate; fatty acid alkanolamides, especially coco fatty acid diethanolamide; partial glycerides, especially stearic acid monoglyceride; esters of polyvalent, unsubstituted or hydroxy-substituted carboxylic acids with fatty alcohols having from 6 to 22 carbon atoms, especially long-chained esters of tartaric acid; fatty substances, for example fatty alcohols, fatty ketones, fatty aldehydes, fatty ethers and fatty carbonates, which in total have at least 24 carbon atoms, especially laurone and distearyl ether; fatty acids, such as stearic acid, hydroxystearic acid or behenic acid, ring-opening products of olefin epoxides having from 12 to 22 carbon atoms with fatty alcohols having from 12 to 22 carbon atoms and/or polyols having from 2 to 15 carbon atoms and from 2 to 10 hydroxy groups, and mixtures thereof.

Hydrocarbon oils:

Mineral oil (light or heavy), petrolatum (yellow or white), microcrystalline wax, paraffinic and isoparaffinic compounds, hydrogenated isoparaffinic molecules as polydecenes and polybutene, hydrogenated polyisobutene, squalane, isohexadecane, isododecane and others from plant and animal kingdom.

Silicones or siloxanes (organosubstituted polysiloxanes)

Dimethylpolysiloxanes, methylphenylpolysiloxanes, cyclic silicones, and also amino-, fatty acid-, alcohol-, polyether-, epoxy-, fluorine-, glycoside- and/or alkyl-modified silicone compounds, which at room temperature may be in either liquid or resinous form. Linear polysiloxanes, dimethicone (Dow Corning 200 fluid, Rhodia Mirasil DM), dimethiconol, cyclic silicone fluids, cyclopentasiloxanes volatiles (Dow Corning 345 fluid), phenyltrimethicone

(Dow Corning 556 fluid). Also suitable are simethicones, which are mixtures of dimethicones having an average chain length of from 200 to 300 dimethylsiloxane units with hydrogenated silicates. A detailed survey by Todd *et al.* of suitable volatile silicones may in addition be found in Cosm. Toil. 91, 27 (1976).

Fluorinated or perfluorinated oils

Perfluorohexane, dimethylcyclohexane, ethylcyclopentane, polyperfluoromethylisopropyl ether.

Emulsifiers

Any conventionally usable emulsifier can be used for the compositions. Emulsifier systems may comprise for example: carboxylic acids and their salts: alkaline soap of sodium, potassium and ammonium, metallic soap of calcium or magnesium, organic basis soap such as Lauric, palmitic, stearic and oleic acid etc... Alkyl phosphates or phosphoric acid esters, acid phosphate, diethanolamine phosphate, potassium cetyl phosphate. Ethoxylated carboxylic acids or polyethyleneglycol esters, PEG-n acylates. Linear fatty alcohols having from 8 to 22 carbon atoms, branched from 2 to 30 mol of ethylene oxide and/or from 0 to 5 mol propylene oxide with fatty acids having from 12 to 22 carbon atoms and with alkylphenols having from 8 to 15 carbon atoms in the alkyl group. Fatty alcohol polyglycolether such as laureth-n, cetareth-n, steareth-n, oleth-n. Fatty acid polyglycolether such as PEG-n stearate, PEG-n oleate, PEG-n cocoate. Monoglycerides and polyol esters. C12-C22 fatty acid mono- and di-esters of addition products of from 1 to 30 mol of ethylene oxide with polyols. Fatty acid and polyglycerol ester such as monostearate glycerol, diisostearyl polyglyceryl-3-diisostearates, polyglyceryl-3-diisostearates, triglyceryl diisostearates, polyglyceryl-2-sesquiisostearates or polyglyceryl dimerates. Mixtures of compounds from a plurality of those substance classes are also suitable. Fatty acid polyglycolesters such as monostearate diethylene glycol, fatty acid and polyethylene glycol esters, fatty acid and saccharose esters such as sucro esters, glycerol and saccharose esters such as sucro glycerides. Sorbitol and sorbitan, sorbitan mono- and di-esters of saturated and unsaturated fatty acids having from 6 to 22 carbon atoms and ethylene oxide addition products. Polysorbate-n series, sorbitan esters such as sesquiisostearate, sorbitan, PEG-(6)-isostearate sorbitan, PEG-(10)-sorbitan laurate, PEG-17- dioleate sorbitan. Glucose derivatives, C₈-C₂₂ alkyl-mono and oligo-glycosides and ethoxylated analogues with glucose being preferred as the sugar component. O/W emulsifiers such as methyl

gluceth-20 sesquistearate, sorbitan stearate/sucrose cocoate, methyl glucose sesquistearate, cetearyl alcohol/cetearyl glucoside. W/O emulsifiers such as methyl glucose dioleate/ methyl glucose isostearate. Sulfates and sulfonated derivatives, dialkylsulfosuccinates, dioctyl succinate, alkyl lauryl sulfonate, linear sulfonated paraffins, sulfonated tetrapropylene sulfonate, sodium lauryl sulfates, ammonium and ethanolamine lauryl sulfates, lauryl ether sulfates, sodium laureth sulfates, sulfosuccinates, acetyl isothionates, alkanolamide sulfates, taurines, methyl taurines, imidazole sulfates. Amine derivatives, amine salts, ethoxylated amines, oxide amine with chains containing a heterocycle such as alkyl imidazolines, pyridine derivatives, isoquinoline, cetyl pyridinium chloride, cetyl pyridinium bromide, quaternary ammonium such as cetyltrimethylammonium bromide (CTBA), stearylalkonium. Amide derivatives, alkanolamides such as acylamide DEA, ethoxylated amides such as PEG-n acylamide, oxydeamide. Polysiloxane/polyalkyl/polyether copolymers and derivatives, dimethicone, copolyols, silicone polyethylene oxide copolymer, silicone glycol copolymer. Propoxylated or POE-n ethers (Meroxapols), Polaxamers or poly(oxyethylene)m-block-poly(oxypropylene)n-block(oxyethylene). Zwitterionic surfactants that carry at least one quaternary ammonium group and at least one carboxylate and/or sulfonate group in the molecule. Zwitterionic surfactants that are especially suitable are betaines, such as N-alkyl-N,N-dimethylammonium glycinate, cocoalkyldimethylammonium glycinate, N-acylaminopropyl-N,N-dimethylammonium glycinate, cocoacylaminopropyl-dimethylammonium glycinate and 2-alkyl-3-carboxymethyl-3-hydroxyethylimidazolines each having from 8 to 18 carbon atoms in the alkyl or acyl group and also cocoacylaminoethylhydroxyethylcarboxymethylglycinate, N-alkylbetaine, N-alkylaminobetaines. Alkylimidazolines, alkyloptides, lipoaminoacids, self emulsifying bases and the compounds as described in K.F.DePolo, A short textbook of cosmetology, Chapter 8, Table 8-7, p250-251.

Non ionic emulsifiers such as PEG-6 beeswax (and) PEG-6 stearate (and) polyglyceryl – 2-isostearate [Apifac], glyceryl stearate (and) PEG-100 stearate. [Arlacel 165], PEG-5 glyceryl stearate [Arlatone 983 S], sorbitan oleate (and) polyglyceryl-3 ricinoleate.[Arlacel 1689], sorbitan stearate and sucrose cocoate [Arlatone 2121], glyceryl stearate and laureth-23 [Cerasynth 945], cetearyl alcohol and ceteth-20 [Cetomacrogol Wax], cetearyl alcohol and colysorbate 60 and PEG-150 and stearate-20[Polawax GP 200, Polawax NF], cetearyl alcohol and cetearyl polyglucoside [Emulgade PL 1618], cetearyl alcohol and cetareth-20 [Emulgade 1000NI, Cosmowax], cetearyl alcohol and PEG-40 castor oil

[Emulgade F Special], cetearyl alcohol and PEG-40 castor oil and sodium cetearyl sulfate [Emulgade F], stearyl alcohol and steareth-7 and steareth-10 [Emulgator E 2155], cetearyl alcohol and steareth-7 and steareth-10 [Emulsifying wax U.S.N.F.], glyceryl stearate and PEG-75 stearate [Gelot 64], propylene glycol ceteth-3 acetate [Hetester PCS], propylene glycol isoceth-3 acetate [Hetester PHA], cetearyl alcohol and ceteth-12 and oleth-12 [Lanbritol Wax N 21], PEG-6 stearate and PEG-32 stearate [Tefose 1500], PEG-6 stearate and ceteth-20 and steareth-20 [Tefose 2000], PEG-6 stearate and ceteth-20 and glyceryl stearate and steareth-20 [Tefose 2561], glyceryl stearate and ceteareth-20 [Teginacid H, C, X].

Anionic emulsifiers such as PEG-2 stearate SE, glyceryl stearate SE [Monelgine, Cutina KD], propylene glycol stearate [Tegin P], cetearyl Alcohol and Sodium cetearyl sulfate [Lanette N, Cutina LE, Crodacol GP], cetearyl alcohol and sodium lauryl sulfate [Lanette W], trilaneth-4 phopshate and glycol stearate and PEG-2 stearate [Sedefos 75], glyceryl stearate and sodium lauryl Sulfate [Teginacid Special]. Cationic acid bases such as cetearyl alcohol and cetrimonium bromide.

The emulsifiers may be used in an amount of, for example, from 1 to 30 % by weight, especially from 4 to 20 % by weight and preferably from 5 to 10 % by weight, based on the total weight of the composition.

When formulated in O/W emulsions, the preferably amount of such emulsifier system could represent 5% to 20% of the oil phase.

Super-fatting agents

Substances suitable for use as super-fatting agents are, for example, lanolin and lecithin and also polyethoxylated or acrylated lanolin and lecithin derivatives, polyol fatty acid esters, monoglycerides and fatty acid alkanolamides, the latter simultaneously acting as foam stabilisers.

Surfactants

Examples of suitable mild surfactants, that is to say surfactants especially well tolerated by the skin, include fatty alcohol polyglycol ether sulfates, monoglyceride sulfates, mono- and/or di-alkyl sulfosuccinates, fatty acid isethionates, fatty acid sarcosinates, fatty acid

taurides, fatty acid glutamates, α -olefin sulfonates, ethercarboxylic acids, alkyl oligoglucosides, fatty acid glucamides, alkylamidobetaines and/or protein fatty acid condensation products, the latter preferably being based on wheat proteins.

Consistency regulators/thickeners and rheology modifiers

Silicium dioxide, magnesium silicates, aluminium silicates, polysaccharides or derivatives thereof for example hyaluronic acid, xanthan gum, guar-guar, agar-agar, alginates, carrageenan, gellan, pectines, or modified cellulose such as hydroxycellulose, hydroxypropylmethylcellulose. In addition polyacrylates or homopolymer of reticulated acrylic acids and polyacrylamides, carbomer (carbopol types 980, 981, 1382, ETD 2001, ETD2020, Ultrez 10) or Salcare range such as Salcare SC80(steareth-10 allyl ether/acrylates copolymer), Salcare SC81(acrylates copolymer), Salcare SC91 and Salcare AST(sodium acrylates copolymer/PPG-1 trideceth-6), sepigel 305(polyacrylamide/laureth-7), Simulgel NS and Simulgel EG (hydroxyethyl acrylate / sodium acryloyldimethyl taurate copolymer), Stabilen 30 (acrylates / vinyl isodecanoate crosspolymer), Pemulen TR-1(acrylates / C10-30 alkyl acrylate crosspolymer), Luvigel EM (sodium acrylates copolymer), Aculyn 28 (acrylates/beheneth-25 methacrylate copolymer), etc.

Polymers

Suitable cationic polymers are, for example, cationic cellulose derivatives, for example a quaternised hydroxymethyl cellulose obtainable under the name Polymer JR 400 from Amerchol, cationic starches, copolymers of diallylammonium salts and acrylamides, quaternised vinylpyrrolidone/vinyl imidazole polymers, for example Luviquat® (BASF), condensation products of polyglycols and amines, quaternised collagen polypeptides, for example lauryldimonium hydroxypropyl hydrolyzed collagen (Lamequat®L/Grünau), quaternised wheat polypeptides, polyethyleneimine, cationic silicone polymers, for example amidomethicones, copolymers of adipic acid and dimethylaminohydroxypropyldiethylenetriamine (Cartaretin/Sandoz), copolymers of acrylic acid with dimethyldiallylammonium chloride (Merquat 550 / Chemviron), polyaminopolyamides, as described, for example, in FR-A-2 522 840, and the crosslinked water-soluble polymers thereof, cationic chitin derivatives, for example of quaternised chitosan, optionally distributed as microcrystals; condensation

products of dihaloalkyls, for example dibromobutane, with bisdialkylamines, for example bisdimethylamino-1,3-propane, cationic guar gum, for example Jaguar C-17, Jaguar C-16 from Celanese, quaternised ammonium salt polymers, for example Mirapol A-15, Mirapol AD-1, Mirapol AZ-1 from Miranol. As anionic, zwitterionic, amphoteric and non-ionic polymers there come into consideration, for example, vinyl acetate / crotonic acid copolymers, vinylpyrrolidone / vinyl acrylate copolymers, vinyl acetate / butyl maleate / isobornyl acrylate copolymers, methyl vinyl ether / maleic anhydride copolymers and esters thereof, uncrosslinked polyacrylic acids and polyacrylic acids crosslinked with polyols, acrylamidopropyl-trimethylammonium chloride / acrylate copolymers, octyl acrylamide/methyl methacrylate-tert-butylaminoethyl methacrylate/2-hydroxypropyl methacrylate copolymers, polyvinylpyrrolidone, vinylpyrrolidone/vinyl acetate copolymers, vinylpyrrolidone/dimethylaminoethyl methacrylate/vinyl caprolactam terpolymers and also optionally derivatised cellulose ethers and silicones. Furthermore the polymers as described in EP 1093796 (pages 3-8, paragraphs 17-68) may be used.

Deodorising active ingredients

As deodorising active ingredients there come into consideration, for example, antiperspirants, for example aluminium chlorohydrates (see J. Soc. Cosm. Chem. 24, 281 (1973)). Under the trade mark Locron® of Hoechst AG, Frankfurt (FRG), there is available commercially, for example, an aluminium chlorohydrate corresponding to formula $\text{Al}_2(\text{OH})_5\text{Cl} \times 2.5 \text{ H}_2\text{O}$, the use of which is especially preferred (see J. Pharm. Pharmacol. 26, 531 (1975)). Besides the chlorohydrates, it is also possible to use aluminium hydroxyacetates and acidic aluminium/zirconium salts. Esterase inhibitors may be added as further deodorising active ingredients. Such inhibitors are preferably trialkyl citrates, such as trimethyl citrate, tripropyl citrate, triisopropyl citrate, tributyl citrate and especially triethyl citrate (Hydagen CAT, Henkel), which inhibit enzyme activity and hence reduce odour formation. Further substances that come into consideration as esterase inhibitors are sterol sulfates or phosphates, for example lanosterol, cholesterol, campesterol, stigmasterol and sitosterol sulfate or phosphate, dicarboxylic acids and esters thereof, for example glutaric acid, glutaric acid monoethyl ester, glutaric acid diethyl ester, adipic acid, adipic acid monoethyl ester, adipic acid diethyl ester, malonic acid and malonic acid diethyl ester and hydroxycarboxylic acids and esters thereof, for example citric acid, malic acid, tartaric acid or tartaric acid diethyl ester. Antibacterial active ingredients that influence the germ flora and kill or inhibit the growth of sweat-decomposing bacteria can likewise be present in the preparations (especially in stick preparations). Examples include chitosan,

preparations (especially in stick preparations). Examples include chitosan, phenoxyethanol and chlorhexidine gluconate. 5-chloro-2-(2,4-dichlorophenoxy)-phenol (Triclosan, Irgasan, Ciba Specialty Chemicals Inc.) has also proved especially effective.

Anti-dandruff agents

As anti-dandruff agents there may be used, for example, climbazole, octopirox and zinc pyrithione. Customary film formers include, for example, chitosan, microcrystalline chitosan, quaternised chitosan, polyvinylpyrrolidone, vinylpyrrolidone/vinyl acetate copolymers, polymers of quaternary cellulose derivatives containing a high proportion of acrylic acid, collagen, hyaluronic acid and salts thereof and similar compounds.

Hydrotropic agents

To improve the flow behaviour it is also possible to employ hydrotropic agents, for example ethoxylated or non ethoxylated mono-alcohols, diols or polyols with a low number of carbon atoms or their ethers (e.g. ethanol, isopropanol, 1,2-dipropanediol, propyleneglycol, glycerin, ethylene glycol, ethylene glycol monoethylether, ethylene glycol monobutylether, propylene glycol monomethylether, propylene glycol monoethylether, propylene glycol monobutylether, diethylene glycol monomethylether; diethylene glycol monoethylether, diethylene glycol monobutylether and similar products). The polyols that come into consideration for that purpose have preferably from 2 to 15 carbon atoms and at least two hydroxy groups. The polyols may also contain further functional groups, especially amino groups, and/or may be modified with nitrogen. Typical examples are as follows: glycerol, alkylene glycols, for example ethylene glycol, diethylene glycol, propylene glycol, butylene glycol, hexylene glycol and also polyethylene glycols having an average molecular weight of from 100 to 1000 Dalton; technical oligoglycerol mixtures having an intrinsic degree of condensation of from 1.5 to 10, for example technical diglycerol mixtures having a diglycerol content of from 40 to 50 % by weight; methylol compounds, such as, especially, trimethylolethane, trimethylolpropane, trimethylolbutane, pentaerythritol and dipentaerythritol; lower alkyl-glucosides, especially those having from 1 to 8 carbon atoms in the alkyl radical, for example methyl and butyl glucoside; sugar alcohols having from 5 to 12 carbon atoms, for example sorbitol or mannitol; sugars having from 5 to 12 carbon atoms, for example glucose or saccharose; amino sugars, for example glucamine; dialcohol amines, such as diethanolamine or 2-amino-1,3-propanediol.

Preservatives

Suitable preservatives include, for example methyl-, Ethyl-, Propyl-, Butyl- parabens, Benzalkonium chloride, 2-Bromo-2-nitro-propane-1,3-diol, Dehydroacetic acid, Diazolidinyl Urea, 2-Dichloro-benzyl alcohol, DMDM hydantoin, Formaldehyde solution, Methylidibromoglutanitrile, Phenoxyethanol, Sodium Hydroxymethylglycinate, Imidazolidinyl Urea and further substance classes listed in the following reference: K.F.DePolo – A short textbook of cosmetology, Chapter 7, Table 7-2, 7-3, 7-4 and 7-5, p210-219.

Antimicrobials

Typical examples of bacteria-inhibiting agents are preservatives that have a specific action against gram-positive bacteria, such as chlorhexidine (1,6-di(4-chlorophenyl-biguanido)hexane) or TCC (3,4,4'-trichlorocarbanilide). A large number of aromatic substances and ethereal oils also have antimicrobial properties. Typical examples are the active ingredients eugenol, menthol and thymol in clove oil, mint oil and thyme oil. A natural deodorising agent of interest is the terpene alcohol farnesol (3,7,11-trimethyl-2,6,10-dodecatrien-1-ol), which is present in lime blossom oil. Glycerol monolaurate has also proved to be a bacteriostatic agent. The amount of the additional bacteria-inhibiting agents present is usually from 0.1 to 2 % by weight, based on the solids content of the preparations.

Perfume oils

There may be mentioned as perfume oils mixtures of natural and/or synthetic aromatic substances. Natural aromatic substances are, for example, extracts from blossom (lilies, lavender, roses, jasmine, neroli, ylang-ylang), from stems and leaves (geranium, patchouli, petitgrain), from fruit (aniseed, coriander, caraway, juniper), from fruit peel (bergamot, lemons, oranges), from roots (mace, angelica, celery, cardamom, costus, iris, calmus), from wood (pinewood, sandalwood, guaiacum wood, cedarwood, rosewood), from herbs and grasses (tarragon, lemon grass, sage, thyme), from needles and twigs (spruce, pine, Scots pine, mountain pine), from resins and balsams (galbanum, elemi, benzoin, myrrh, olibanum, opoponax). Animal raw materials also come into consideration, for example civet and castoreum. Typical synthetic aromatic substances are, for example, products of the ester, ether, aldehyde, ketone, alcohol or hydrocarbon type. Aromatic substance compounds of the ester type are, for example, benzyl acetate, phenoxyethyl isobutyrate, p-tert-butylcyclohexyl acetate, linalyl acetate, dimethylbenzylcarbonyl acetate, phenylethyl acetate, linalyl benzoate, benzyl formate, ethylmethylphenyl glycinate, allylcyclohexyl propion-

ate, styrallyl propionate and benzyl salicylate. The ethers include, for example, benzyl ethyl ether; the aldehydes include, for example, the linear alkanals having from 8 to 18 hydrocarbon atoms, citral, citronellal, citronellyl oxyacetaldehyde, cyclamen aldehyde, hydroxycitronellal, lillial and bourgeonal; the ketones include, for example, the ionones, isomethylionone and methyl cedryl ketone; the alcohols include, for example, anethol, citronellol, eugenol, isoeugenol, geraniol, linalool, phenyl ethyl alcohol and terpinol; and the hydrocarbons include mainly the terpenes and balsams. It is preferable, however, to use mixtures of various aromatic substances that together produce an attractive scent. Ethereal oils of relatively low volatility, which are chiefly used as aroma components, are also suitable as perfume oils, e.g. sage oil, camomile oil, clove oil, melissa oil, oil of cinnamon leaves, lime blossom oil, juniper berry oil, vetiver oil, olibanum oil, galbanum oil, labolanum oil and lavandin oil. Preference is given to the use of bergamot oil, dihydromyrcenol, lillial, lyral, citronellol, phenyl ethyl alcohol, hexyl cinnamaldehyde, geraniol, benzyl acetone, cyclamen aldehyde, linalool, boisambrene forte, ambroxan, indole, hedione, sandelice, lemon oil, tangerine oil, orange oil, allyl amyl glycolate, cyclovertal, lavandin oil, muscatel sage oil, damascone, bourbon geranium oil, cyclohexyl salicylate, vertofix coeur, iso-E-Super, Fixolide NP, evernyl, iraldein gamma, phenylacetic acid, geranyl acetate, benzyl acetate, rose oxide, romillat, irotyl and floramat alone or in admixture with one another.

Colourants

There may be used as colourants the substances that are suitable and permitted for cosmetic purposes, as compiled, for example, in the publication "Kosmetische Färbemittel" of the Farbstoffkommission der Deutschen Forschungsgemeinschaft, Verlag Chemie, Weinheim, 1984, pages 81 to 106. The colourants are usually used in concentrations of from 0.001 to 0.1 % by weight, based on the total mixture.

Insect repellents

Suitable insect repellents are, for example, N,N-diethyl-m-toluamide, 1,2-pentanediol or insect repellent 3535.

Polymeric beads or hollow spheres as SPF enhancers

The combination of the UV-absorbers and UV-absorber combinations, listed above, with SPF enhancers, such as non-active ingredients like Styrene/acrylates copolymer, silica beads, spheroidal magnesium silicate, crosslinked Polymethylmethacrylates (PMMA ; Mi-

copearl M305 Seppic), can maximize better the UV protection of the sun products. Holosphere additives (Sunspheres® ISP, Silica Shells Kobo.) deflect radiation and the effective path length of the photon is therefore increased.(EP0893119). Some beads, as mentioned previously, provide a soft feel during spreading. Moreover, the optical activity of such beads, e.g. Micropearl M305, can modulate skin shine by eliminating reflection phenomena and indirectly may scatter the UV light.

Other adjuvants

It is furthermore possible for the cosmetic preparations to contain, as adjuvants, anti-foams, such as silicones, *structurants*, such as maleic acid, *solubilisers*, such as ethylene glycol, propylene glycol, glycerol or diethylene glycol, opacifiers, such as latex, styrene/PVP or styrene/acrylamide copolymers, complexing agents, such as EDTA, NTA, alaninediacetic acid or phosphonic acids, *propellants*, such as propane/butane mixtures, N₂O, dimethyl ether, CO₂, N₂ or air, so-called coupler and developer components as oxidation dye precursors, *reducing agents*, such as thioglycolic acid and derivatives thereof, thiolactic acid, cysteamine, thiomalic acid or mercaptoethanesulfonic acid, or oxidising agents, such as hydrogen peroxide, potassium bromate or sodium bromate.

Cosmetic or pharmaceutical preparations

Cosmetic or pharmaceutical formulations are contained in a wide variety of cosmetic preparations. There come into consideration, for example, especially the following preparations:

- skin-care preparations, e.g. skin-washing and cleansing preparations in the form of tablet-form or liquid soaps, soapless detergents or washing pastes,
- skin-care preparations, e.g. skin emulsions, multi-emulsions or skin oils;
- cosmetic personal care preparations, e.g. facial make-up in the form of day creams or powder creams, face powder (loose or pressed), rouge or cream make-up, eye-care preparations, e.g. eyeshadow preparations, mascara, eyeliner, eye creams or eye-fix creams; lip-care preparations, e.g. lipsticks, lip gloss, lip contour pencils, nail-care preparations, such as nail varnish, nail varnish removers, nail hardeners or cuticle removers;
- light-protective preparations, such as sun milks, lotions, creams or oils, sunblocks or tropicals, pre-tanning preparations or after-sun preparations;

- skin-tanning preparations, e.g. self-tanning creams;
- depigmenting preparations, e.g. preparations for bleaching the skin or skin-lightening preparations;
- insect-repellents, e.g. insect-repellent oils, lotions, sprays or sticks;
- preparations for cleansing and caring for blemished skin, e.g. synthetic detergents (solid or liquid), peeling or scrub preparations or peeling masks;

Presentation forms

The final formulations listed may exist in a wide variety of presentation forms, for example:

- in the form of liquid preparations as a W/O, O/W, O/W/O, W/O/W or PIT emulsion and all kinds of microemulsions,
- in the form of a gel,
- in the form of an oil, a cream, milk or lotion,
- in the form of a powder, a lacquer, a tablet or make-up,
- in the form of a stick,
- in the form of a spray (spray with propellant gas or pump-action spray) or an aerosol,
- in the form of a foam, or
- in the form of a paste.

Of special importance as cosmetic preparations for the skin are light-protective preparations, such as sun milks, lotions, creams, oils, sunblocks or tropicals, pretanning preparations or after-sun preparations, also skin-tanning preparations, for example self-tanning creams. Of particular interest are sun protection creams, sun protection lotions, sun protection milk and sun protection preparations in the form of a spray.

The examples below serve to illustrate the invention without limiting it thereto. The cosmetic active substances are primarily given with their INCI name (INCI = International Nomenclature of Cosmetic Ingredients).

Examples

General

Materials and Methods

1. Skin color measurement:

Skin color was measured in the L*a*b* colour by means of a Minolta CM-508i® Chroma-meter).

2. Biophysical skin parameters

Skin moisture was assessed with a Corneometer® and skin elasticity and tension by a Cutometer® (both from Courage & Khazaga, Köln, Germany).

Skin smoothness and skin wrinkles were measured by contact-free profilometry, Leica, Quantimet 600® (Leica, Heidelberg, Germany).

3. Testpanel

a. Asian volunteers

10 Volunteers of originating from S-E-Asia and with a skinlightness L* between 65.4 and 40.8 were recruited following COLIPA-Guidelines.

b. Indian volunteers

10 Volunteers of Indian origine with a skinlightness L* between 41.1. and 33. 6 were recruited following COLIPA-Guidelines.

c. White Caucasian volunteers

10 Volunteers of European origin with a skin lightness L* between 68.8 and 56.2 were recruited following COLIPA-Guidelines.

4. Volunteers and measurements

The influence of extensive sun exposure on skin pigmentation and the protective effects of day care cosmetics were directly measured on volunteers:

Volunteers were irradiated with simulated solar light for 12 weeks, 3-times a week with a dose ≤ 1 MED (Minimal erythema dose; MED adjusted monthly). The skin of the volunteers

was assessed prior and monthly after irradiation. Skin color was recorded with a Minolta Colorimeter 508i.

Example 1: Tanning prevention

The influence of extensive sun exposure on skin pigmentation and the protective effects of a day cream containing

Aqua (up to 100%);

5% Caprylic/Capric Triglyceride

5% C₁₂-C₁₅ Alkyl Benzoate

5% Cetearyl Isononanoate

3% Glyceryl Stearate

3% Glycerin

2% Potassium Cetyl Phosphate

1% Cetyl Alcohol

1% Cetyl Stearate

1% Phenoxyethanol/ Parabenes

0.5% Steareth-10 Allyl Ether/Acrylates Copolymer and

5% of the compound of formula (3)

was directly measured on Asian volunteers. 30 min after day cream application 10 volunteers were irradiated with simulated solar light for 12 weeks, 3-times a week with a dose ≤ 1 MED (Minimal Erythema Dose; MED adjusted monthly).

The skin of the volunteers was assessed prior and monthly after irradiation. Skin color was recorded with a Minolta Colorimeter 508i.

As documented, skin tanning could be markedly prevented by the day cream

<u>Tanning prevention by daycreams (Asian volunteers)</u>		
<u>L*/a*/b*3xw <1MED</u>	<u>Without protection</u> <u>[L*/a*/b*]</u>	<u>5% of the compound of for-</u> <u>mula (3)</u>
Start	52.3/21.0/22.0	53.3/22.5/22.5
After 4 weeks	44.8/27.3/26.5	50.5/23.1/22.6
After 8 weeks	42.0/30.8/28.4	48.8/22.6/23.3
After 12 weeks	38.8/30.1/27.9	47.7/21.5/24.6

Example 2: Prevention of skin wrinkling by UV-A absorbing day cream

Skin smoothness and skin wrinkles were measured by contact-free profilometry, Leica, Quantimet 600® (Leica, Heidelberg, Germany).

UV Day Cream

	<u>INCI-Name</u>	<u>% w/w</u> <u>(as supplied)</u>
Part A	Cetyl Phosphate	1.75
	C ₁₂ -C ₁₅ Alkyl Benzoate	4.00
	Cetearyl Alcohol/ PEG-20 Stearate	2.00
	Ethoxydiglycol Oleate	2.00
	Stearic Acid	1.50
	Ethylhexyl Triazone (Uvinul T150)	2.00
	Isononyl Isononanoate	2.00
	Bis-ethylhexyloxyphenol methoxyphenyl Triazine (Tinosorb S)	1.00
Part B	Aqua	qs to 100
	Xanthan Gum	0.35
	Compound of formula (3) (Uvinul A Plus)	2.00
	Disodium EDTA	0.20
	Propylene Glycol	2.00
	Diazolidinyl Urea (and) Methylparaben (and) Propylparaben (and) Propylene Glycol	0.70
	Glycerin	1.50
Part C	Cyclopentasiloxane (and) Dimethiconol	1.00
	Ethoxydiglycol	3.00
	Dimethicone	2.00
Part D	Triethanolamine	qs

Manufacturing instruction:

Part A by is prepared by incorporating all ingredients, then stirred under moderate speed and heated to 75°C. Part B s prepared and heated to 75°C. At this temperature part B is poured into part A under progressive stirring speed. Then the mixture is homogenized (30sec., 15000 rpm) . At a temperature < 55°C the ingredients of part C are incorporated. The mixture is cooled down under moderate stirring, then the pH is checked and adjusted with triethanolamine.

<u>Prevention of wrinkles and loss of smoothness on 10 Indian volunteers</u>				
Without protection $L^*/a^*/b^*3x$ $w < 1MED$	<u>wrinkles</u>	UV Day Cream Formulation	<u>smoothness</u>	UV Day Cream Formulation
start	Control	Cream	Control	Cream
4 weeks	4.7%	-7.8%	-7.7 %	7.5%
8 weeks	7.8%	-9.0%	-8.7%(10.2%
12 weeks	10.5%	-12.8%	-8.1%	15.4%

Example 3: Retention of moisturizing activity of day cream thanks to UV-Absorber measured on 12 Caucasian volunteers

Skin moisture was assessed with a Corneometer®

<u>Skin moisture in % of start values Caucasian</u>			
Start	<u>No cream</u>	<u>Placebo cream</u>	<u>Cream with UV-Absorber</u>
4 weeks	-16.5%	12.7%	31.8
8 weeks	-19.1%	14.6%	46.4%
12 weeks	-21.3%	16.2%	45.8%

Day cream Composition Formulation A (= Placebo Cream):

4% Cetaryl isonoate, C12-15 Alkyl Benzoate,
3.5% Dioctyl Ether,
2% Cetearyl Alcohol/PEG-20 Stearate,
2% Isohexadecane,
2% Ethoxydiglycol Oleate,
2% Cetyl Phosphate,
1% Cetyl Alcohol,
0.7% Steareth-10 Allyl Ether/ Acrylates Copolymer) and
1% Ethylhexyl Triazone
0.75% Benzophenone-3 and
1.5% Ethylhexyl Methoxycinnamate
Ad 100% water.

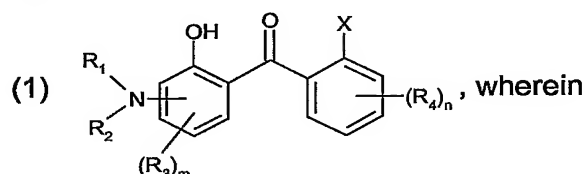
Day cream Composition Formulation B:

4% Cetaryl isonoate, C₁₂₋₁₅ Alkyl Benzoate,
3.5% Dioctyl Ether,
2% Cetearyl Alcohol/PEG-20 Stearate,
2% Isohexadecane,
2% Ethoxydiglycol Oleate,
2% Cetyl Phosphate,
1% Cetyl Alcohol,
0.7% Steareth-10 Allyl Ether/ Acrylates Copolymer and
0.5% Ethylhexyl Triazone
1.25% of the compound of formula (3); and
2% 2,2'-Methylene-bis-[6-(2H-benzotriazol-2-yl)-4-(1,1,3,3-tetramethylbutyl)-phenol];
(Tinosorb M).
Ad 100% Water,

What is claimed is:

1. The use of

(a) UV filters selected from the compounds of formula



R₁ and R₂, independently from each other are hydrogen, C₁-C₂₀alkyl, C₂-C₁₀alkenyl, C₃-C₁₀cycloalkyl, C₃-C₁₀cycloalkenyl, or R₁ and R₂ together with the nitrogen atom to which they are bonded can form a 5- or 6-membered ring;

R₃ and R₄, independently from each other are C₁-C₂₀alkyl, C₂-C₁₀alkenyl, C₃-C₁₀-cycloalkyl, C₃-C₁₀cycloalkenyl, C₁-C₁₂alkoxy, C₁-C₂₀alkoxycarbonyl, C₁-C₁₂alkylamino, C₁-C₁₂dialkylamino, aryl, heteroaryl, optionally substituted, substituents which confer solubility in water, chosen from the group consisting of a nitrile group, carboxylate, sulfonate or ammonium radicals;

X is hydrogen, COOR₅, CONR₆R₇;

R₅, R₆ and R₇, independently from each other are hydrogen, C₁-C₂₀alkyl, C₂-C₁₀alkenyl, C₃-C₁₀cycloalkyl, C₃-C₁₀cycloalkenyl, (Y-O)_o-Z, aryl;

Y is -(CH₂)₂-, -(CH₂)₃-, -(CH₂)₄-, -CH(CH₃)-CH₂-;

Z is -CH₂-CH₃, -CH₂-CH₂-CH₃, -CH₂-CH₂-CH₂-CH₃, -CH(CH₃)-CH₃;

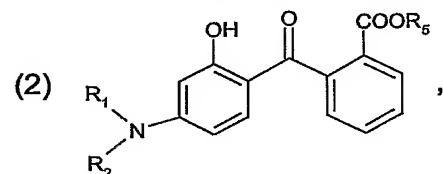
m is from 0 to 3;

n is from 0 to 4;

o is from 1 to 20;

as organic UV filters for preventing tanning human skin.

2. Use according to claim 1, wherein the UV filters (a) correspond to formula



wherein

R₁ and R₂ independently from each other are hydrogen, C₁-C₁₂alkyl; or R₁ and R₂ together with the nitrogen atom to which they are bonded form a 5- or 6-membered ring; and

R₅ is hydrogen, C₁-C₁₂alkyl, C₃-C₆cycloalkyl.

3. Use according to claim 1 or 2, wherein

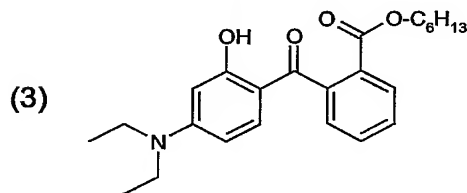
R₁ and R₂, independently from each other are C₁-C₅alkyl; and

R₅ is C₁-C₁₂alkyl.

4. Use according to any of claims 1 to 3, wherein

R₁ and R₂ have the same meaning.

5. Use according to any of claims 1 to 4, wherein the UV filters (a) correspond to formula



6. Use according to any of claims 1 to 4, wherein

(b) at least one UV filter is used additionally.

7. Use according to any of claims 1 to 6 wherein the additional UV filters (b) are selected from p-aminobenzoic acid derivatives, salicylic acid derivatives, benzophenone derivatives different from those of formula (1), dibenzoylmethane derivatives, diphenylacrylates, 3-imidazol-4-ylacrylic acid and esters; benzofuran derivatives, polymeric UV absorbers, cinnamic acid derivatives, camphor derivatives, hydroxyphenyltriazine compounds, benzotriazole compounds, trianilino-s-triazine derivatives, 2-phenylbenzimidazole-5-sulfonic acid and salts thereof, menthyl o-aminobenzoates, physical coated or non-coated sunscreens, perfluoroalcohol phosphate, aminohydroxy-benzophenone derivatives and phenyl-benzimidazole derivatives.

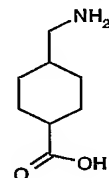
8. Use according to any of claims 1 to 7, wherein

(c) pharmaceutical or cosmetic active ingredient is additionally used.

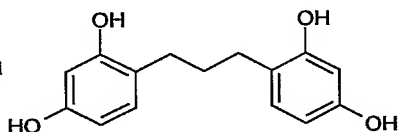
9. Use according to any of claims 1 to 8, wherein the pharmaceutical or cosmetic active ingredient (c) is an antioxidant.

10. Use according to any of claims 1 to 9, wherein
(d) a pigment regulator agent is additionally used.

11. Use according to any of claims 1 to 10, wherein the pigment regulator agent (d) is a skin lightening agent and is selected from γ -pyron derivatives; hydroquinon, resorcin derivatives; glycines; alkyl dicarboxylic acids; 1,2-dihydroxyphenyl derivatives; urea, furanones, phenylacetaldehydes; benzaldehydes; 4-methoxycinnamaldehydes; isomeic decene acids; ascorbic acid and derivatives thereof; salicylic acid derivatives thereof; phenolic compounds; benzo[b]pyran derivatives, bornyl- and cinnamate derivatives, azulenes and derivatives thereof; α -hydroxy-carboxylic acids; the compound of formula



; and the compound of formula



12. Use according to claim 11, wherein the skin whitening agent (d) is selected from kojic acid, arbutin, quercitin, aloesin, azelain acid, guaiol, and ellag acid.

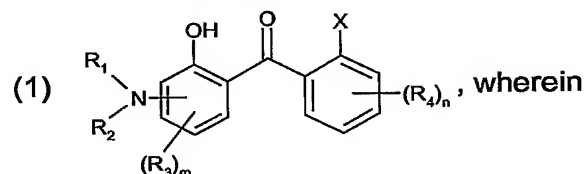
13. Use of a cosmetic or pharmaceutical composition comprising component (a) and optionally (b), (c) and (d) is used as anti-wrinkling agent.

14. Use of a cosmetic or pharmaceutical composition comprising component (a) and optionally (b), (c) and (d) is used as skin firming agent.

15. Use of a cosmetic or pharmaceutical composition comprising component (a) and optionally (b), (c) and (d) is used as anti-skinlifting agent.

Abstract

The invention describes the use of organic UV filters of formula



R_1 and R_2 , independently from each other are hydrogen, C_1 - C_{20} alkyl, C_2 - C_{10} alkenyl,

C_3 - C_{10} cycloalkyl, C_3 - C_{10} cycloalkenyl, or R_1 and R_2 together with the nitrogen atom to which they are bonded can form a 5- or 6-membered ring;

R_3 and R_4 , independently from each other are C_1 - C_{20} alkyl, C_2 - C_{10} alkenyl, C_3 - C_{10} -cycloalkyl, C_3 - C_{10} cycloalkenyl, C_1 - C_{12} alkoxy, C_1 - C_{20} alkoxycarbonyl, C_1 - C_{12} alkylamino, C_1 - C_{12} dialkylamino, aryl, heteroaryl, optionally substituted, substituents which confer solubility in water, chosen from the group consisting of a nitrile group, carboxylate, sulfonate or ammonium radicals;

X is hydrogen, $COOR_5$, $CONR_6R_7$;

R_5 , R_6 and R_7 , independently from each other are hydrogen, C_1 - C_{20} alkyl, C_2 - C_{10} alkenyl, C_3 - C_{10} cycloalkyl, C_3 - C_{10} cycloalkenyl, $(Y-O)_o$ -Z-aryl;

Y is $-(CH_2)_2$, $-(CH_2)_3$, $-(CH_2)_4$, $-CH(CH_3)-CH_2$;

Z is $-CH_2-CH_3$, $-CH_2-CH_2-CH_3$, $-CH_2-CH_2-CH_2-CH_3$, $-CH(CH_3)-CH_3$;

m is from 0 to 3;

n is from 0 to 4;

o is from 1 to 20;

for preventing tanning human skin, and their use in cosmetic and pharmaceutical formulations.

